

L2 STRUCTURE UPLOADED

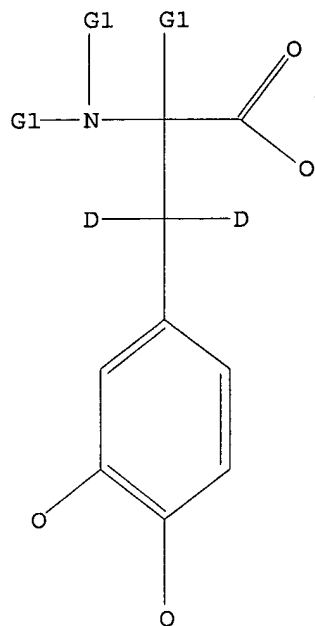
=> que L2 AND L1

L3 QUE L2 AND L1

=> d L2

L2 HAS NO ANSWERS

L2 STR



G1 H,D

Structure attributes must be viewed using STN Express query preparation.

=> s L2 full

FULL SEARCH INITIATED 16:07:36 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 14551 TO ITERATE

100.0% PROCESSED 14551 ITERATIONS

52 ANSWERS

SEARCH TIME: 00.00.01

L4 52 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'CAPLUS' ENTERED AT 16:07:42 ON 06 FEB 2007

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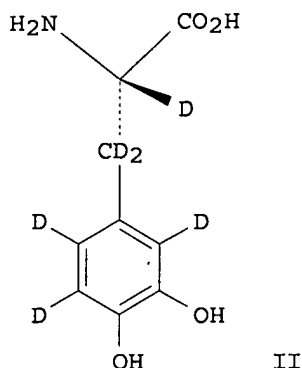
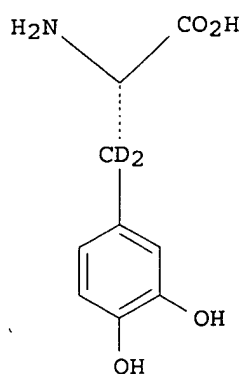
=> s L4

L5 24 L4

=> d L5 1-24 bib abs

L5 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:525997 CAPLUS <<LOGINID::20070206>>
DN 141:89365
TI Deuterated catecholamine derivatives as well as these compounds containing drug
IN Alken, Rudolf-Giesbert
PA Turicum Drug Development AG, Switz.
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|----------|
| PI | DE 10261807 | A1 | 20040701 | DE 2002-10261807 | 20021219 |
| | CA 2513088 | A1 | 20040708 | CA 2003-2513088 | 20031218 |
| | WO 2004056724 | A1 | 20040708 | WO 2003-DE4203 | 20031218 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2003289841 | A1 | 20040714 | AU 2003-289841 | 20031218 |
| | EP 1613571 | A1 | 20060111 | EP 2003-782168 | 20031218 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | CN 1738782 | A | 20060222 | CN 2003-80108990 | 20031218 |
| | JP 2006510686 | T | 20060330 | JP 2004-561054 | 20031218 |
| | US 2006135615 | A1 | 20060622 | US 2006-539845 | 20060209 |
| PRAI | DE 2002-10261807 | A | 20021219 | | |
| | WO 2003-DE4203 | W | 20031218 | | |
| OS | MARPAT 141:89365 | | | | |
| GI | | | | | |



AB The present invention concerns preparation of deuterated catecholamine derivs. and their therapeutic use in treating medical conditions, either alone or in conjunction with other active agents. In addition the invention concerns the use of deuterated catecholamine derivs. as well as their physiol. compatible salts, or pharmaceutical compns. containing deuterated catecholamine derivs. or their physiol. compatible salts, for the treatment of illnesses of lack of dopamine and/or illnesses, which are based on disturbed tyrosine transport or disturbed tyrosine decarboxylase, such as Parkinson's disease, Restless Legs syndrome, dystonia, for the inhibition of prolactin secretion, for the stimulation of growth hormone release, for the treatment of the neurol. symptoms of chronic manganese poisonings, of amyotrophic lateral sclerose and of multiple system atrophy, as well as the prophylaxis of psychoses, schizophrenia, and acute psychoses, preferably psychoses with neg. symptomatol., in particular also schizophrenia (no data). Thus, a DL-mixture of 2-acetyl-amino-3,3-dideuterio-3-(3,4-dimethoxyphenyl)propionic acid was resolved using (R)-1-phenethylamine, and the D- and L-free bases isolated; the L-fraction was N-deacetylated and O-demethylated to give title compound (I) in 96% yield. Similarly prepared were the D-I, and (II) in 92 and 84%, resp.

L5 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:357850 CAPLUS <<LOGINID::20070206>>

DN 133:129208

TI EPR Studies of Chromium(V) Intermediates Generated via Reduction of Chromium(VI) by DOPA and Related Catecholamines: Potential Role for Oxidized Amino Acids in Chromium-Induced Cancers

AU Pattison, David I.; Lay, Peter A.; Davies, Michael J.

CS School of Chemistry, University of Sydney, Sydney, 2006, Australia

SO Inorganic Chemistry (2000), 39(13), 2729-2739

CODEN: INOCAJ; ISSN: 0020-1669

PB American Chemical Society

DT Journal

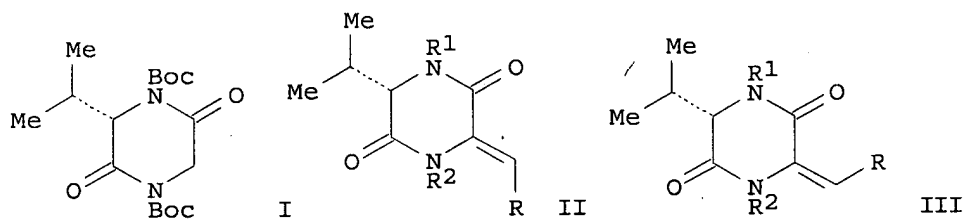
LA English

AB The redns. of K₂Cr₂O₇ by catecholamines, DOPA, DOPA-β,β-d₂, N-acetyl-DOPA, α-methyl-DOPA, dopamine, adrenaline, noradrenaline, catechol, 3,4-dihydroxybenzoic acid (DHBA), and 4-tert-butylcatechol (TBC), produce a number of Cr(V) EPR signals. These species are of interest in relation to the potential role of oxidized proteins and amino acids in Cr-induced cancers. With excess organic ligand, all of the substrates yield Cr species with signals at g_{iso} .apprx. 1.972 (A_{iso}(⁵³Cr) > 23.9 + 10⁻⁴ cm⁻¹). These are similar to signals reported previously but were reassigned as octahedral Cr(V) species with mixed catechol-derived ligands, [CrV(semiquinone)₂(catecholate)]⁺. Expts. with excess K₂Cr₂O₇ show complex behavior with the catecholamines and TBC. Several weak Cr(V) signals are detected after mixing, and the spectra evolve over time to yield relatively stable substrate-dependent signals at g_{iso} .apprx. 1.980. These signals were attributed to [Cr(O)L₂]- (L = diolato) species, in

which the Cr is coordinated to two cyclized catecholamine ligands and an oxo ligand. Isotopic labeling studies with DOPA (ring or side chain deuteration or enrichment with ^{15}N), and simulation of the signals, show that the superhyperfine couplings originate from the side chain protons, confirming that the catecholamine ligands are cyclized. At pH 3.5, a major short-lived EPR signal is observed for many of the substrates at giso .apprx. 1.969, but the species responsible for this signal was not identified. Several other minor Cr signals are detected, which are attributed (by comparison with isoelectronic V(IV) species) to Cr(V) complexes coordinated by a single catecholamine ligand (and auxiliary ligands e.g. H_2O), or to $[\text{Cr}(\text{O})\text{L}_2]^-$ (L = diolato) species with a 6th ligand (e.g. H_2O). Addition of catalase or deoxygenation of the solns. did not affect the main EPR signals. When the substrates were in excess (pH > 4.5), primary and secondary (cyclized) semiquinones were also detected. Semiquinone stabilization by Zn(II) complexation yielded stronger EPR signals (giso .apprx. 2.004).

RE.CNT 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:172416 CAPLUS <<LOGINID::20070206>>
DN 128:283042
TI Stereo-divergent synthesis of L-threo- and L-erythro-[2,3-2H₂]amino acids using optically active dioxopiperazine as a chiral template
AU Oba, Makoto; Terauchi, Tsutomu; Owari, Yuki; Imai, Yoko; Motoyama, Izumi; Nishiyama, Kozaburo
CS Department of Material Science and Technology, Tokai University, Shizuoka, 410-03, Japan
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1998), (7), 1275-1282
CODEN: JCPRB4; ISSN: 0300-922X
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 128:283042
GI



AB A stereodivergent synthesis of L-threo- and L-erythro-[2,3-2H₂]amino acids from the same chiral auxiliary is described. Aldolization of protected dioxopiperazine I (Boc = CO₂CMe₃), derived from L-valine, with various aldehydes RCHO [R₁ = Ph, 4-MeOC₆H₄, 3,4-(MeO)₂C₆H₃, Me₂CD] followed by successive elaboration gives various 2,3-dehydroamino acid derivs II and III (R₁ = R₂ = H, Boc; R₁ = Boc, R₂ = H, Ac; R₁ = Ac, R₂ = Ac, Boc). Catalytic deuteration of II and III followed by acidic hydrolysis affords L-[2,3-2H₂]amino acids in good yields with high optical purities. It becomes clear that diastereoselective deuteration for either the threo or the erythro isomer depends upon the protective groups on the nitrogen atoms in the dioxopiperazine ring. Thus, catalytic deuteration of II (R₁ = R₂ = Boc) gave 74% L-erythro-[2,3-2H₂]phenylalanine with 98% e.e., while catalytic deuteration of II (R₁ = R₂ = H) gave 85% L-threo-[2,3-2H₂]phenylalanine with 91% e.e.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1994:260260 CAPLUS <<LOGINID::20070206>>
DN 120:260260
TI Quantitative analysis of low molecular weight compounds of biological
 interest by matrix-assisted laser desorption ionization
AU Duncan, Mark W.; Matanovic, Gabrijela; Cerpa-Poljak; Anne
CS Biomed. Mass Spectrometry Unit, Univ. New South Wales, Kensington, 2033,
 Australia
SO Rapid Communications in Mass Spectrometry (1993), 7(12), 1090-4
 CODEN: RCMSEF; ISSN: 0951-4198
DT Journal
LA English
AB Internal stds. were used to demonstrate that matrix-assisted laser
 desorption/ionization (MALDI) mass spectrometry can be applied to the
 quant. anal. of low mol. weight polar compds. Three examples were tested: a
 standard curve for 3,4-dihydroxyphenylalanine (DOPA) was prepared using a
stable
 isotope analog (i.e., [13C6]DOPA) as an internal standard;
 [2H16]-acetylcholine was employed as an internal standard for the
 quantification of acetylcholine; and in the final example, the peptide
 Ac-Ser-Ile-Arg-His-Tyr-NH2 was used as an internal standard for the
 quantification of the peptide H-Ser-Ala-Leu-Arg-His-Tyr-NH2. In each
 instance, straight line fits ($r^2 > 0.95$) demonstrate that MALDI is a viable
 approach for the quant. anal. of low mol. weight analytes.

L5 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:465960 CAPLUS <<LOGINID::20070206>>
DN 117:65960
TI Preparation of radioactively labeled isodityrosine
AU Miller, Janice G.; Fry, Stephen C.
CS Cent. Plant Sci., Univ. Edinburgh, Edinburgh, EH9 3JH, UK
SO Phytochemical Analysis (1992), 3(2), 61-4
 CODEN: PHANEL; ISSN: 0958-0344
DT Journal
LA English
AB Oxidation of L-[U-14C]tyrosine with 2.7 molar equivalents of alkaline
 hexacyanoferrate(III) yielded at least 12 chromatog. mobile oxidation
 products and a large amount of immobile material. Use of 0.23 molar
 equivalents of hexacyanoferrate(III) yielded [14C]dityrosine (.apprx.1.3%
 of added [14C]tyrosine) and [14C]isodityrosine (.apprx.0.6%). A
 chromatog. method is described for the isolation of these two products
 from the mixture. A method is also described for the preparation of
 [3H]isodityrosine from non-radioactive isodityrosine by catalytic exchange
 with 3H2. The [3H]isodityrosine formed was essentially stable in 6 M HCl
 at 110°C, indicating that the tritiation occurred at the benzylic
 groups.

L5 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:118039 CAPLUS <<LOGINID::20070206>>
DN 114:118039
TI Fast enzymic preparation of L-DOPA from tyrosine and molecular oxygen: a
 potential method for preparing [oxygen-15]L-DOPA
AU Maddaluno, Jacques F.; Faull, Kym F.
CS Sch. Med., Stanford Univ., Stanford, CA, 94305, USA
SO Applied Radiation and Isotopes (1990), 41(9), 873-8
 CODEN: ARISEF; ISSN: 0883-2889
DT Journal
LA English
AB A fast, simple, and inexpensive enzymic preparation of L-DOPA from mol. oxygen
 and tyrosine using mushroom tyrosinase is described. The theor.
 incubation time for production of [15O]L-DOPA with maximal specific activity

from [150]O₂ can be calculated to be about 3 min. In practice, using a specially designed glass reaction chamber to facilitate the incorporation of gaseous mol. oxygen into L-DOPA with zero lag-time, a 3-min reaction with 1% oxygen in nitrogen results in the formation of approx. 3.9 μmol of L-DOPA, representing conversion of about 14% of the tyrosine substrate. Given access to a supply of [150]O₂, the method should be applicable to the preparation of [150]L-DOPA for use as a PET tracer.

L5 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1990:508668 CAPLUS <<LOGINID::20070206>>

DN 113:108668

TI Comparative in vivo metabolism of 6-[18F]fluoro-L-DOPA and [3H]L-DOPA in rats

AU Melega, William P.; Luxen, Andre; Perlmutter, Milton M.; Nissenson, Charna H. K.; Phelps, Michael E.; Barrio, Jorge R.

CS Sch. Med., UCLA, Los Angeles, CA, 90024, USA

SO Biochemical Pharmacology (1990), 39(12), 1853-60

CODEN: BCPA6; ISSN: 0006-2952

DT Journal

LA English

AB In vivo double-labeled expts in rats were designed to correlate the peripheral and cerebral metabolism of 6-[18F]fluoro-L-DOPA ([18F]FDOPA) with that of [3H]L-DOPA. Authentic samples of the major [18F]DOPA metabolites were synthesized to identify the 18F-labeled metabolites. After carbidopa pretreatment and i.v. administration of the compound, the products of peripheral metabolism in plasma were analyzed at times from 3 to 60 min. In the periphery, amine conjugates were detected but they accounted for <15% of the total radioactivity; the major metabolites were 3-O-methyl-6-[18F]fluoro-L-DOPA and 3-O-methyl-[3H]L-DOPA. The rate and extent of 3-O-methylation of [18F]FDOPA exceeded that [3H]L-DOPA. Both 3-O-methylated products entered the striatum and cerebellum where they contributed significant but uniform activity. Anal. of cerebral metabolism in these structures indicated a linear accumulation of total radioactivity: a striatum/cerebellum ratio of 2 was observed by 60 min. 6-[18F]fluorodopamine (35%) and [3H]dopamine (55%) were the major metabolites formed in the striatum; however, the methylated [18F]FDOPA and [3H]DOPA products of predominantly peripheral origin represented 55% (18F) and 35% (3H) of the total radioactivity, resp. Other [3H]dopamine metabolites and their 18F-labeled analogs represented <10-15% at times analyzed. The cerebellum radioactivity was composed only of [18F]FDOA, [3H]DOPA and their 3-O-methylated products. These data will serve as the basis for the development of kinetic models of [18F]FDOPA metabolism that can be applied to the evaluation of central dopamine biochem. with positron emission tomog. in humans.

L5 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1987:403324 CAPLUS <<LOGINID::20070206>>

DN 107:3324

TI Cerebral metabolism of 6-[18F]fluoro-L-3,4-dihydroxyphenylalanine in the primate

AU Firnau, G.; Sood, S.; Chirakal, R.; Nahmias, C.; Garnett, E. S.

CS Chedoke-McMaster Hosp., McMaster Univ., Hamilton, ON, Can.

SO Journal of Neurochemistry (1987), 48(4), 1077-82

CODEN: JONRA9; ISSN: 0022-3042

DT Journal

LA English

AB The tracers 6-[18F]fluoro-L-DOPA and L-[14C]DOPA were injected simultaneously into rhesus monkeys, and the time course of their metabolites was measured in the striatum and in the occipital and frontal cortexes. In the striatum, 6-[18F]fluoro-L-DOPA was metabolized to 6-[18F]fluorodopamine, 3,4-dihydroxy-6-[18F]fluorophenylacetic acid, and 6-[18F]fluorohomovanillic acid. The metabolite pattern was qual. similar to that of L-[14C]DOPA. 6-[18F]Fluorodopamine was synthesized faster than [14C]dopamine. In the frontal cortex, the major metabolite was also

6-[18F]fluorodopamine or [14C]dopamine. In the occipital cortex, the major metabolite was 3-O-methyl-6-[18F]fluoro-L-DOPA. On the basis of these data, the images obtained with 6-[18F]fluoro-L-DOPA and positron emission tomog. in humans can now be interpreted in neurochem. terms.

L5 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1986:81911 CAPLUS <<LOGINID::20070206>>

DN 104:81911

TI Changes in brain catecholamine levels following DL-DOPA are not potentiated by deuterium substitution

AU Dewar, Karen M.; Dyck, Lillian E.; Durden, David A.; Boulton, A. A.
CS Psychiatr. Res. Div., Univ. Saskatchewan, Saskatoon, SK, S7N 0W0, Can.
SO Progress in Neuro-Psychopharmacology & Biological Psychiatry (1985), 9(5-6), 675-80

CODEN: PNPPD7; ISSN: 0278-5846

DT Journal

LA English

AB In rats treated with either DL-dopa [63-84-3] or its deuterated analog D3-DL-dopa [100364-65-6], total dopamine [51-61-6] levels in the brain striatum increased above control values; however, no differences were observed in the effects between these 2 treatments. Total noradrenaline [51-41-2] levels were not significantly altered by treatment with either DL-dopa or D3-DL-dopa. Thus, D substitution does not appear to affect catecholamine deamination or β -hydroxylation in vivo.

L5 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1984:403121 CAPLUS <<LOGINID::20070206>>

DN 101:3121

TI Characteristics of kinetics of metabolism and the biological action of tritium-labeled organic compounds

AU Zhuravlev, V. F.; Kalyazina, N. S.; Klykov, O. V.; Goryacheva, T. I.
CS USSR

SO Biol. Effekty Mal. Doz. Radiatsii, M. (1983) 74-7
From: Ref. Zh., Radiats. Biol. 1984, Abstr. No. 270102.

DT Journal

LA Russian

AB Title only translated.

L5 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1983:418678 CAPLUS <<LOGINID::20070206>>

DN 99:18678

TI Magnitude of intrinsic isotope effects in the dopamine β -monooxygenase reaction

AU Miller, Susan M.; Klinman, Judith P.
CS Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SO Biochemistry (1983), 22(13), 3091-6
CODEN: BICHAW; ISSN: 0006-2960

DT Journal

LA English

AB Intrinsic primary H isotope effects (kH/kD) were obtained for the C-H bond cleavage step catalyzed by bovine adrenal gland dopamine β -monooxygenase (I). The irreversibility of this step is inferred from the failure to observe back-exchange of 3H from 3H01H into substrate under conditions of dopamine turnover; this result cannot be due to solvent inaccessibility at the enzyme active site, since a solvent-derived proton or triton must be at the enzyme active site prior to substrate activation. As shown by D. B. Northrop (1975) for enzymic reactions in which the C-H bond cleavage step is irreversible, comparison of D(V/K) to T(V/K) allows an explicit solution for kH/kD. By employing a double-label tracer method, deuterium isotope effects on Vmax/Km could be measured with high precision, D(V/K) = 2.756 at pH 6.0. The magnitude of the tritium isotope effect under comparable exptl. conditions was T(V/K) = 6.079 yielding kH/kD = 9.4. This result was obtained in the presence of saturating concns. of the anion activator, fumarate. Elimination of fumarate from

the reaction mixture led to high observed values for isotope effects on V_{max}/K_m , together with an essentially invariant value for $k_H/k_D = 10.9$. Thus, the large disparity between isotope effects, plus or minus fumarate, cannot be accounted for by a change in k_H/k_D , and it is concluded that fumarate plays a role in the modulation of the partitioning of enzyme-substrate complex between catalysis and substrate dissociation. On the basis of literature correlations of primary H isotope effects and the thermodyn. properties of H-transfer reactions, the very large magnitude of $k_H/k_D = 9.4-10.9$ for I suggests an equilibrium constant close to unity for the C-H bond cleavage step. This feature, together with the failure to observe re-formation of dopamine from enzyme-bound intermediate or product and overall rate limitation of enzyme turnover by product release, leads to the proposal of a stepwise mechanism for norepinephrine formation from dopamine in which C-H bond cleavage is uncoupled from the O insertion step.

L5 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1982:577974 CAPLUS <<LOGINID::20070206>>

DN 97:177974

TI Standardization of tritium-labeled compounds

AU Kalyazina, N. S.; Klykov, O. V.; Zhuravlev, V. F.; Moskalev, Yu. I.

CS USSR

SO Meditsinskaya Radiologiya (1982), 27(8), 53-7

CODEN: MERAA9; ISSN: 0025-8334

DT Journal

LA Russian

AB The kinetics of the metabolism of tritium in rats following i.p. administration of tritiated organic compds. (thymidine, ethyleneglycol, cytidine, ETOH, glucose, ACOH, and dopa) differed from that of HTO. The rate of removal of tritium administered in an organic compound was slower than that of HTO. Also tissue levels of tritium were higher after administration of the label in organic compds. The toxicity of the organic tritiated compds. was also higher than that of HTO. The half-life constant, absorbed dose, and permissible concns. of tritium in workers exposed to HTO and the above-mentioned tritiated compds. were calculated

L5 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1982:180880 CAPLUS <<LOGINID::20070206>>

DN 96:180880

TI Deuterium exchange labeling of biologically important phenols, indoles, and steroids

AU Vining, R. F.; Smythe, G. A.; Long, M. A.

CS Garvan Inst. Med. Res., St. Vincent's Hosp., Sydney, 2010, Australia

SO Journal of Labelled Compounds and Radiopharmaceuticals (1981), 18(11), 1683-92

CODEN: JLCRD4; ISSN: 0362-4803

DT Journal

LA English

AB Deuterated analogs of phenolic steroids, catechols, and indole derivs. were prepared in high chemical yield by heating the relevant compound in D2O at 190° in a sealed tube for 24 h. E.g., vanillin in D2O gave >95% vanillin-5-d1 almost exclusively. Care must be exercised in the heating of the sealed tubes due to considerable risk of explosion.

L5 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1982:100179 CAPLUS <<LOGINID::20070206>>

DN 96:100179

TI Effect of the form of the introduced compound and isotopic carrier on the kinetics of carbon-14, tritium, and iodine-125 metabolism

AU Moskalev, Yu. I.; Kalistratova, V. S.; Vasilenko, I. Ya.; Bugryshev, P.

F.; Kalyazina, N. S.; Zhuravlev, V. F.

CS Inst. Biofiz., Moscow, USSR

SO Rep.-SAAS - Staatl. Amt Atomsicherh. Strahlenschutz DDR (1981), SAAS-280, Itogovaya Konf. Nauchno - Tekh. Sotr. Obl. Radiats. Bezop. Minist.

Zdravookhr. SSSR Gos. Upr. At. Bezop. Zashch. Izluch. Period 1979 - 1980,
181-96

CODEN: RSADDL; ISSN: 0138-2551

DT Report
LA Russian

AB The effects of form (organic or inorg.) on the metabolism of ^{14}C , ^3H , and ^{125}I
in

rats were studied. The inorg. $\text{Na}_2^{14}\text{CO}_3$, $\text{K}_2^{14}\text{CO}_3$, and $\text{Ca}^{14}\text{CO}_3$ were rapidly absorbed by the gastrointestinal tract and $^{14}\text{CO}_2$ was rapidly eliminated via respiration. The organic labeled compds. glucose- ^{14}C , glycine- ^{14}C , and palmitate- ^{14}C were also rapidly absorbed by the intestine, but greater amts. of label were found in tissues, especially after glycine and palmitate administration. Labeling of tissues was also higher following administration of tritiated organic compds. (dopa- ^3H , ^3H EtOH, glucose- ^3H , acetate- ^3H , thymidine- ^3H , and cytidine- ^3H) than after tritium oxide administration. Accumulation (30-day) of label from dopa- ^3H was less by a factor of 3 and that of thymidine- ^3H was 28-fold greater than that of tritium oxide. In rats, resorption of ^{125}I by the gastrointestinal tract was not affected by the presence of the isotope carrier ^{127}I ; however, incorporation of ^{125}I by the thyroid gland was inhibited by the carrier.

L5 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1981:1628 CAPLUS <<LOGINID::20070206>>

DN 94:1628

TI Tritiated DOPA: distribution in subcellular melanoma fractions and prospects for its radiotherapeutical use

AU Gavrilenko, I. S.; Rummyantsev, P. P.; Bulychiev, A. G.; Zarembskii, R. A.; Ivanov, I. I.

CS Lab. Cell. Morphol., Inst. Cytol., Leningrad, USSR

SO Radiobiologia, Radiotherapia (1980), 21(4), 525-31

CODEN: RDBGAT; ISSN: 0033-8184

DT Journal

LA German

AB DOPA- ^3H was prepared and after injection into mice with Harding-Passey melanoma, radioactivity was selectively incorporated into tumor melanosomes and especially mitochondria. The incorporation of label into these 2 tumor cell fractions was associated with increases in tyrosinase activity. The highly selective absorption of DOPA- ^3H by melanocytes indicates that DOPA may be useful as the carrier of an emitter for the internal radiation therapy of melanoma.

L5 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1979:18868 CAPLUS <<LOGINID::20070206>>

DN 90:18868

TI Autoradiographic and metabolic studies of Mycobacterium leprae

AU Khanolkar, Saroj R.; Ambrose, E. J.; Chulawala, R. G.; Bapat, C. V.

CS Found. Med. Res., Worli, India

SO Leprosy Review (1978), 49(3), 187-98

CODEN: LEREEA; ISSN: 0305-7518

DT Journal

LA English

AB Highly purified suspensions of *M. leprae* showed a progressive increase in the incorporation of thymidine- ^3H and DOPA(I)- ^3H in short-term cultures as shown by scintillation counting. The intact bacilli are known to have a high permeability barrier. Apparently, I- ^3H becomes trapped within this barrier and oxidized inside the bacilli. Tests by pretreatment with di-Et diithiocarbamate, an inhibitor of I, cold I, or hyaluronidase distinguished the uptake of I- ^3H by bacilli from the effects of connective tissue contamination. Similar increases in the labeling of bacilli by scintillation counting were observed by autoradiog. of the organisms. The scintillation method shows promise for rapidly identifying drug resistance in lepromatous patients relapsing while on treatment with dapsone, rifampicin, clofazimine, or other anti-leprosy drugs.

L5 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1973:402362 CAPLUS <<LOGINID::20070206>>
 DN 79:2362
 TI Preparation of L-tyrosine-ring-14C, L-dopa- ring-14C, and related metabolites
 AU Ellis, B. E.; Major, G.; Zenk, M. H.
 CS Ruhr-Univ., Bochum-Querenburg, Fed. Rep. Ger.
 SO Analytical Biochemistry (1973), 53(2), 470-7
 CODEN: ANBCA2; ISSN: 0003-2697
 DT Journal
 LA English
 AB The reversibility of the tyrosine phenol-lyase reaction was utilized to develop a simple system in which phenol-14C is incorporated into L-tyrosine in high yield. By use of mushroom tyrosinase, catechol-14C can be prepared from phenol-14C and L-dopa-14C from L-tyrosine-14C. Catechol-14C can also be incorporated into L-dopa-14C by use of tyrosine phenol-lyase, giving the possibility of preparing dopa with 2 labeling patterns in the ring when starting from phenol-14C. Two further tyrosine metabolites, p-coumaric acid and homogentisic acid, were also enzymically prepared with 14C in the ring.

L5 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1973:148206 CAPLUS <<LOGINID::20070206>>
 DN 78:148206
 TI Possible differential radiolysis of amino acid optical isomers by carbon-14-labeled betas
 AU Bernstein, William James; Lemmon, Richard M.; Calvin, Melvin
 CS Lawrence Radiat. Lab., Univ. California, Berkeley, CA, USA
 SO Mol. Evol. (1972), 151-5. Editor(s): Rohlfing, Duane L. Publisher: Plenum, New York, N. Y.
 CODEN: 26NJAU
 DT Conference
 LA English
 AB No differential radiolysis of the D- and L-isomers was detected in samples of 14C-labeled DL-amino acids irradiated intrinsically by β - particles and their bremsstrahlung derived from the 14C, for 12-24 years. The radiation doses were 2.5-10.4 $\times 10^7$ rads. Norvaline, alanine, DOPA, aspartic acid, and methionine were analyze

L5 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1972:527015 CAPLUS <<LOGINID::20070206>>
 DN 77:127015
 TI Thin-layer chromatographic separation of optical isomers on labeled dopa via dipeptide formation
 AU Barooshian, Armen V.; Lautenschleger, Margaret J.; Harris, Wayne G.
 CS Anal. Dep., New England Nucl. Corp., Boston, MA, USA
 SO Analytical Biochemistry (1972), 49(2), 569-71
 CODEN: ANBCA2; ISSN: 0003-2697
 DT Journal
 LA English
 AB DL-Dopa-carboxyl-14C reacted with L-leucine-N-carboxy anhydride to give a diastereomeric mixture of L-Leu-D-Dopa-14C (I) and L-Leu-L-Dopa-14C (II). Thin-layer chromatog. of I and II gave Rf 0.38 and 0.56, resp.

L5 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1971:72582 CAPLUS <<LOGINID::20070206>>
 DN 74:72582
 TI [3H]-Dopa in [3H]-tyrosine with high specific activity: a serious complication in the study of catechol amine metabolism
 AU Waldeck, Bertil
 CS Dep. Pharmacol., Univ. Goteborg, Goteborg, Swed.
 SO Journal of Pharmacy and Pharmacology (1971), 23(1), 64-5
 CODEN: JPPMAB; ISSN: 0022-3573
 DT Journal

LA English
 GI For diagram(s), see printed CA Issue.
 AB The use of 3H-labeled tyrosine (I) with high specific activity, contaminated with 10% 3H-labeled dopa (3,4-dihydroxyphenyl-alanine), for the study of catechol amine metabolism in rats gave abnormally high values for the yields of labeled noradrenaline and dopamine. The levels of radioactive metabolites in heart were most significantly increased by the contamination, as compared with those in the caudate nucleus and the spinal cord.

L5 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1970:510104 CAPLUS <<LOGINID::20070206>>
 DN 73:110104
 TI Deuteration and tritiation of aryl aldehydes in the formyl group and the synthesis of (+)-3,4-dihydroxy[β -2H₂]phenylalanine
 AU Bennett, David John; Kriby, G. W.; Moss, V. A.
 CS Chem. Dep., Univ. Technol., Loughborough, UK
 SO Journal of the Chemical Society [Section] C: Organic (1970), (15), 2049-51
 CODEN: JSOOAX; ISSN: 0022-4952
 DT Journal
 LA English
 OS CASREACT 73:110104
 AB Aryl aldehydes were converted into the corresponding α -aryl- α -morpholinoacetone nitriles and by treatment with base into the derived benzylic anions. Quenching of these anions with D₂O or T₂O followed by hydrolysis with mineral acid, gave formyl-labeled aldehydes. 3,4-Dimethoxybenzaldehyde-formyl-d gave, when heated with alkali, 3,4-dimethoxybenzyl-methylene-d₂ alc., a convenient starting material for the synthesis of (+)-3,4-dihydroxyphenylalanine- β , β -d₂.

L5 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1970:435751 CAPLUS <<LOGINID::20070206>>
 DN 73:35751
 TI Chemistry of melanins. XI. Distribution of the polymeric linkages in dopa-melanin
 AU King, J. A. G.; Percival, A.; Robson, N. C.; Swan, G. A.
 CS Dep. Org. Chem., Univ. Newcastle upon Tyne, Newcastle upon Tyne, UK
 SO Journal of the Chemical Society [Section] C: Organic (1970), (10), 1418-22
 CODEN: JSOOAX; ISSN: 0022-4952
 DT Journal
 LA English
 AB Samples of (+)-3,4-dihydroxyphenylalanine deuterated at the α -, β -, 2-, 5-, and 6-positions were each converted into melanin, both by autoxidn. and enzymically, and the incorporation of D into these melanins was measured. The results were interpreted in terms of an outline structure suggested for dopa-melanin on the basis of earlier expts.; and the relative nos. of polymeric linkages at different positions of the polymeric units were estimated. No evidence was found that enzymic dopa-melanin was fundamentally different from the autoxidative melanin. Dopa-melanin, prepared in vitro, appears to be an irregular polymer, containing a number of different types of unit, linked in various ways.

L5 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1970:415200 CAPLUS <<LOGINID::20070206>>
 DN 73:15200
 TI Studies related to the chemistry of melanins. IX. Syntheses of specifically deuteriated 3,4-dihydroxyphenethylamines and (+)-3,4-dihydroxyphenylalanines
 AU Binns, F.; King, J. A. G.; Percival, A.; Robson, N. C.; Swan, George A.
 CS Dep. Org. Chem., Univ. Newcastle upon Tyne, Newcastle upon Tyne, UK
 SO Journal of the Chemical Society [Section] C: Organic (1970), (8), 1134-8
 CODEN: JSOOAX; ISSN: 0022-4952

DT Journal
LA English
AB 3,4-Dihydroxyphenethylamine-HCl and (+)-3,4-dihydroxyphenylalanine deuterated at the α -, β -, 2-, 5, and 6-positions (sep.) were synthesized.

L5 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1966:35729 CAPLUS <<LOGINID::20070206>>
DN 64:35729
OREF 64:6602a-b
TI Some studies of the formation and structure of melanins
AU Swan, George Albert
CS Univ. Newcastle-upon-Tyne, UK
SO Rend. Accad. Sci. Fis. Mat. (Soc. Nazl. Sci., Napoli) (1964), 31, 212-31
DT Journal
LA English
AB In addition to a literature review on the subject (25 references), studies are described of the formation of melanins (I), (a) enzymically, and (b) by autoxidn. from 2,3-(HO)2C6H3CH2CH(CO2H)NH2 (II) and 2,3-(HO)2C6H3CH2CH2NH2 (III). When II and III were labeled with D in the α or β position of the side chain and then converted to I, large retention of D was observed in the I. This suggests that the I are not polymers composed entirely of indole-5,6-quinone, but that they also contain uncyclized units of the precursors (or quinones derived from these) or (more probably) units of 2,3-dihydroindole-5,6-quinone. When I prepared from II-carboxy-14C was oxidized, the resulting pyrrole-2,3,5-tricarboxylic acid was radioactive while the pyrrole-2,3-dicarboxylic acid was inactive.

=>

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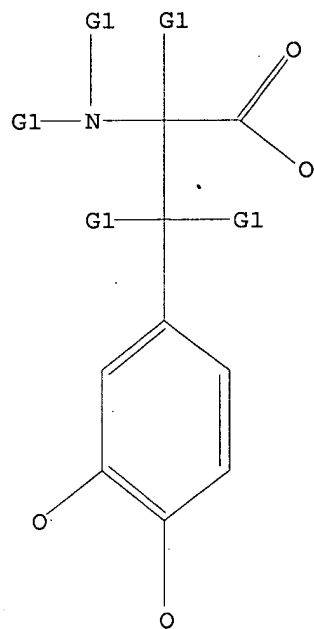
=> que L1

L2 QUE L1

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 H,D

Structure attributes must be viewed using STN Express query preparation.

=> s L1 full

FULL SEARCH INITIATED 15:51:13 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 14551 TO ITERATE

100.0% PROCESSED 14551 ITERATIONS
SEARCH TIME: 00.00.01

3032 ANSWERS

L3 3032 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE
ENTRY

TOTAL
SESSION

FULL ESTIMATED COST

172.10

172.31

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=> s L3

L4 15411 L3

=> s catecholamine derivatives

28976 CATECHOLAMINE

30817 CATECHOLAMINES

39275 CATECHOLAMINE

(CATECHOLAMINE OR CATECHOLAMINES)

340310 DERIVATIVES

1134069 DERIVS

1239609 DERIVATIVES

(DERIVATIVES OR DERIVS)

L5 70 CATECHOLAMINE DERIVATIVES

(CATECHOLAMINE(W)DERIVATIVES)

=> d L5 1-70 bib abs

L5 ANSWER 1 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1341510 CAPLUS <<LOGINID::20070206>>

TI Direct Ring Conjugation of Catecholamines and Their Immunological Interactions

AU Mitchell, John S.; Wu, Yingui; Cook, Christian J.; Main, Lyndsay

CS Bioengineering Sector, HortResearch, Hamilton, 3123, N. Z.

SO Bioconjugate Chemistry (2007), 18(1), 268-274

CODEN: BCCHES; ISSN: 1043-1802

PB American Chemical Society

DT Journal

LA English

AB Catecholamine derivs. were synthesized with potential applications as coating antigens in biosensors or in the raising of specific antibodies. Thioether-bridged derivs. of the catecholamines dopamine, norepinephrine, and epinephrine that attach carboxylic acid functionalities directly to the aromatic ring via an easily incremented linker chain were synthesized by an electrochem. method. These derivs. were purified by convenient ion-exchange chromatog., exact positions of conjugation determined by NMR, and a dopamine derivative immobilized in situ

in a BIAcore surface plasmon resonance (SPR) biosensor and its antibody binding studied in comparison with immobilization via the catecholamine primary amine. Binding of an antibody raised to an amine-conjugated protein conjugate showed clear distinction between conjugations at different positions on the catecholamine, illustrating the importance of rational conjugate design in immunosensing of the catecholamines.

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1177695 CAPLUS <<LOGINID::20070206>>

DN 146:25129

TI Measurement of urinary metanephrines to screen for pheochromocytoma in an
unselected hospital referral population
AU Brain, Keith L.; Kay, Jonathan; Shine, Brian
CS Department of Pharmacology, University of Oxford, Oxford, UK
SO Clinical Chemistry (Washington, DC, United States) (2006), 52(11),
2060-2064

CODEN: CLCHAU; ISSN: 0009-9147

PB American Association for Clinical Chemistry

DT Journal

LA English

AB Background: Despite the rarity of pheochromocytoma, diagnosis is important because of the dangers of uncontrolled severe hypertension and the availability of very effective surgical treatment. Urinary or plasma catecholamines or catecholamine derivs. are commonly used to screen for pheochromocytomas before imaging, but data from 24-h urinary metanephrine results, patient age, and sex may better predict tumors in populations with a low pretest probability. Methods: We retrospectively studied outcomes of an unselected population (1819 patients) referred to a tertiary hospital laboratory for urinary metanephrine testing and investigated the usefulness of some simple derivative measures for detecting pheochromocytoma. We normalized values for urinary 24-h excretion of metanephrine, normetanephrine, and 3-methoxytyramine by dividing by an age- and sex-specific reference range. We then compared pheochromocytoma prediction by the use of products of these normalized measures with the gold standard of biopsy-confirmed tumor. Results: The product of the excretion of normalized metanephrine (nMAD) and normalized normetanephrine (nNMT) (nMAD·nNMT) was a highly sensitive (100%) and specific (99.1%) measure, yielding a pos. predictive value of 82%. ROC curves were not improved by including the normalized 3-methoxytyramine concns. in the product. The test for nMAD·nNMT gave higher sensitivity and specificity than the tests for either substance alone. Conclusion: The test for nMAD·nNMT is a useful measure for identifying pheochromocytoma in a population with a low pretest probability.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:37378 CAPLUS <<LOGINID::20070206>>

DN 142:100572

TI New sensitive methods for the spectrophotometric determination of some catecholamine derivatives

AU Vasantha, R. A.; Nagaraja, P.; Yathirajan, H. S.

CS Department of Studies in Chemistry, University of Mysore, Mysore, 570 006, India

SO Proceedings of the National Academy of Sciences, India, Section A: Physical Sciences (2004), 74(3), 261-266

CODEN: PAIAA3; ISSN: 0369-8203

PB National Academy of Sciences, India

DT Journal

LA English

AB Rapid, simple, and sensitive spectrophotometric methods for the determination of

pyrocatechol, dopamine hydrochloride, levo dopa, Me dopa, and adrenaline hydrochloride in either pure form or its pharmaceutical preps. is described. The 1st method is based on the interaction of catecholamine derivs. with iron(III) and subsequent reaction with ferricyanide in presence of hydrochloric acid medium to yield prussian blue colored complex with λ_{max} of 730 nm. In the 2nd method, the interaction of nitrite ions with the catecholamines in neutral medium in presence of aluminum ions to yield a red product in alkaline medium with λ_{max} of 500-510 nm. The optical characteristics, interference studies and application to pharmaceutical preps. were reported.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

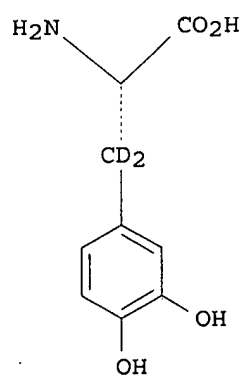
- L5 ANSWER 4 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:617457 CAPLUS <<LOGINID::20070206>>
DN 141:185449
TI Studies on the interaction between catecholamine
derivatives and DNA by spectroscopic and voltammetric methods
AU Yang, Gong-Jun; Xu, Jing-Juan; Chen, Hong-Yuan
CS Institute of Analytical Science, State Key Laboratory of Coordination
Chemistry, Department of Chemistry, Nanjing University, Nanjing, 210093,
Peop. Rep. China
SO Gaodeng Xuexiao Huaxue Xuebao (2004), 25(7), 1235-1239
CODEN: KTHPDM; ISSN: 0251-0790
PB Gaodeng Jiaoyu Chubanshe
DT Journal
LA Chinese
AB The interactions between catecholamine derivs. and DNA
were investigated by means of cyclic voltammetry, UV-Vis absorption
spectra and fluorescence spectra. The results show that the interaction
mode is mainly electrostatic interaction at the low concentration of dobutamine
and adrenaline, whereas intercalative binding plays a dominant role at
their high concentration. As for dopamine, it intercalates into the double
helix
of DNA in the concentration range of 5.00×10^{-5} to 9.00×10^{-4}
mol/L. The binding consts. of dopamine, adrenaline and dobutamine with DNA
are determined as 1.55×10^3 , 9.77×10^3 and 1.74×10^4
L/mol, resp., by electrochem. method.
- L5 ANSWER 5 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:608752 CAPLUS <<LOGINID::20070206>>
DN 141:309565
TI Unique properties of a renal sulfotransferase, St1d1, in dopamine
metabolism
AU Shimada, Miki; Terazawa, Reiko; Kamiyama, Yoshiteru; Honma, Wataru;
Nagata, Kiyoshi; Yamazoe, Yasushi
CS Division of Drug Metabolism and Molecular Toxicology, Graduate School of
Pharmaceutical Sciences, Tohoku University, Sendai, Japan
SO Journal of Pharmacology and Experimental Therapeutics (2004), 310(2),
808-814
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB Although catecholamine sulfation is higher in the kidney than in the liver
of mice, no detectable amts. of previously reported sulfotransferases
(STs) such as St1a, St1b, St1c, and St1e were expressed in mouse kidney
cytosols. A new sulfotransferase (St1d1) cDNA was isolated from kidney
cDNA library of BALB/c strain by reverse transcription-polymerase chain
reaction (RT-PCR) using information from expressed sequence tags (EST)
database. The cDNA sequence resembled that of cDNA reported previously
(AA238910) but differed in two amino acids, 206Q/K and 216Y/F, in the
deduced amino acid sequence. The St1d1 expressed had unique substrate
specificities for catecholamine derivs., which
preferred their deaminated metabolites rather than their parent amines.
St1d1 showed the highest activity toward 3,4-dihydroxyphenylacetic acid
(230.2 ± 2.69 nmol/mg/min) among the examined substrate. St1d1 protein was
abundant in kidney, followed by liver, lung, and uterus. Furthermore, an
addition of anti-St1d1 serum in the cytosolic reaction mixture resulted in
complete inhibition of the sulfotransferase activity suggesting a major
role of St1d1 on catecholamine sulfations. No human ST1D ortholog was
detected at both mRNA and protein levels, although ST1A5 selectively
catalyzing parent amine sulfation was detected in human kidney. These
results indicate the functional basis of sulfation and the clear species

difference on renal catecholamine metab. in mice and humans.
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

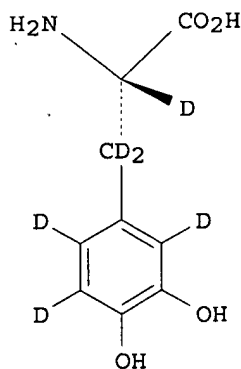
L5 ANSWER 6 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:525997 CAPLUS <<LOGINID::20070206>>
DN 141:89365
TI Deuterated catecholamine derivatives as well as these
compounds containing drug
IN Alken, Rudolf-Giesbert
PA Turicum Drug Development AG, Switz.
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

inventor

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|------|----------|--|----------|
| PI | DE 10261807 | A1 | 20040701 | DE 2002-10261807 | 20021219 |
| | CA 2513088 | A1 | 20040708 | CA 2003-2513088 | 20031218 |
| | WO 2004056724 | A1 | 20040708 | WO 2003-DE4203 | 20031218 |
| | W: | | | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | |
| | RW: | | | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | |
| | AU 2003289841 | A1 | 20040714 | AU 2003-289841 | 20031218 |
| | EP 1613571 | A1 | 20060111 | EP 2003-782168 | 20031218 |
| | R: | | | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | |
| | CN 1738782 | A | 20060222 | CN 2003-80108990 | 20031218 |
| | JP 2006510686 | T | 20060330 | JP 2004-561054 | 20031218 |
| | US 2006135615 | A1 | 20060622 | US 2006-539845 | 20060209 |
| PRAI | DE 2002-10261807 | A | 20021219 | | |
| | WO 2003-DE4203 | W | 20031218 | | |
| OS | MARPAT 141:89365 | | | | |
| GI | | | | | |



I



II

AB The present invention concerns preparation of deuterated catecholamine derivs. and their therapeutic use in treating medical conditions, either alone or in conjunction with other active agents. In addition the

invention concerns the use of deuterated catecholamine derivs. as well as their physiol. compatible salts, or pharmaceutical compns. containing deuterated catecholamine derivs. or their physiol. compatible salts, for the treatment of illnesses of lack of dopamine and/or illnesses, which are based on disturbed tyrosine transport or disturbed tyrosine decarboxylase, such as Parkinson's disease, Restless Legs syndrome, dystonia, for the inhibition of prolactin secretion, for the stimulation of growth hormone release, for the treatment of the neurol. symptoms of chronic manganese poisonings, of amyotrophic lateral sclerosis and of multiple system atrophy, as well as the prophylaxis of psychoses, schizophrenia, and acute psychoses, preferably psychoses with neg. symptomatol., in particular also schizophrenia (no data). Thus, a DL-mixture of 2-acetylamino-3,3-dideuterio-3-(3,4-dimethoxyphenyl)propionic acid was resolved using (R)-1-phenethylamine, and the D- and L-free bases isolated; the L-fraction was N-deacetylated and O-demethylated to give title compound (I) in 96% yield. Similarly prepared were the D-I, and (II) in 92 and 84%, resp.

L5 ANSWER 7 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:126225 CAPLUS <<LOGINID::20070206>>

DN 141:21129

TI Schizophrenia and cancer: the adrenochrome balanced morphism

AU Foster, Harold D.; Hoffer, Abram

CS Department of Geography, University of Victoria, Victoria, BC, V8W 3P5, Can.

SO Medical Hypotheses (2004), 62(3), 415-419

CODEN: MEHYDY; ISSN: 0306-9877

PB Elsevier Science Ltd.

DT Journal; General Review

LA English

AB A review. Cancer might be expected to be more common amongst schizophrenics than the general population. They frequently live in selenium deficient regions, have seriously compromised antioxidant defense systems and chain-smoke. The available literature on the cancer-schizophrenia relationship in patients from England, Wales, Ireland, Denmark, USA and Japan, however, strongly suggests that the reverse is true. One of the authors (Hoffer) has treated 4000 schizophrenics since 1952. Only 4 of these patients has developed cancer. Since low cancer incidence was recorded amongst patients treated by both conventional physicians using pharmaceuticals and by orthomol. doctors who emphasize vitamins and minerals, it follows that this depressed cancer incidence must be related to the biochem. of the disorder itself. Taken as a whole, therefore, the evidence seems to suggest that schizophrenics, their siblings and parents are less susceptible to cancer than the general population. These relationships seem compatible with one or more genetic risk factors for schizophrenia that offer(s) a selective advantage against cancer. There is exptl. evidence that appears to support this possibility. Matrix Pharmaceuticals Inc. has received a US patent covering the composition of IntraDose Injectable Gel. This gel contains cisplatin and epinephrine (adrenaline) and is designed to be injected directly into tumor masses. Cisplatin is a very powerful oxidant which will almost certainly rapidly convert the adrenaline to adrenochrome. While the manufacturers of IntraDose consider cisplatin to be the active cytotoxic agent in IntraDose, it seems more likely that adrenochrome and its derivs. may, in fact, be more effective. IntraDose gel has undergone or is undergoing a series of Phase III open-label clin. studies, being injected into patients' tumors that have been identified as the most troublesome by their physicians. The results have been impressive for breast cancer, malignant melanoma, esophageal cancer and cancer of the head, neck and liver. The evidence suggests that there are balanced morphisms in schizophrenia that result in above normal exposure to catecholamine derivs. Since such catecholamines are both hallucinogenic and anticarcinogenic abnormally high exposure to them simultaneously increases susceptibility to schizophrenia and reduces the

probability of developing cancer. These observations have significant implications for the treatment of both illnesses.

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:539952 CAPLUS <<LOGINID::20070206>>
DN 139:286451
TI Intracellular patch electrochemistry: Regulation of cytosolic catecholamines in chromaffin cells
AU Mosharov, Eugene V.; Gong, Liang-Wei; Khanna, Bhavanna; Sulzer, David; Lindau, Manfred
CS Departments of Neurology and Psychiatry, Columbia University, New York, NY, 10032, USA
SO Journal of Neuroscience (2003), 23(13), 5835-5845
CODEN: JNRSDS; ISSN: 0270-6474
PB Society for Neuroscience
DT Journal
LA English
AB Alterations in the cytosolic pool directly affect neurotransmitter synthesis and release and are suggested to be key factors in various neurodegenerative disorders. Although this cytosolic pool is the most metabolically active, it is miniscule compared with the amount of vesicular transmitter and has never been quantified sep. Here, we introduce intracellular patch electrochem. (IPE), a technique that for the first time provides direct measurements of cytosolic oxidizable mols. in single mammalian cells. In amperometric mode, IPE detects total catechols, whereas in cyclic voltammetric mode, it preferentially measures catecholamines. In cultured chromaffin cells, the total cytosolic catechol concentration was 50-500 μ M, of which .apprx.10% were catecholamines. Reserpine, a vesicular monoamine transporter inhibitor, had no effect on the catecholamine pool but increased total catechols by fourfold to fivefold. Combined with pargyline, a monoamine oxidase inhibitor, reserpine increased catecholamine levels in the cytosol by approx. sixfold. Amphetamine induced a transient approx. fivefold accumulation of cytosolic catecholamines and a slow increase of total catechols. In cells incubated with 3,4-dihydroxy-L-phenylalanine (L-DOPA), catecholamines increased by .apprx.2.5-fold and total catechols increased by approx. fourfold. Cytosolic catecholamines returned to control levels \leq 10 min after L-DOPA withdrawal, whereas total catechols remained approx. twofold elevated even after a 1.5 h incubation in L-DOPA-free media. Our data indicate that cytosolic catecholamines are strictly maintained at a defined level, and drug-induced increases in their concns. lead to the accumulation of other catecholamine derivs., such as DOPAC and 3,4-dihydroxyphenylethyleneglycol. These derivs. reside in the cytosol for hours after treatment and may be an underlying cause of drug-related cytotoxicity.

RE.CNT 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:404230 CAPLUS <<LOGINID::20070206>>
DN 138:16706
TI Aqueous chromatography utilizing hydrophobicity-modified anionic temperature-responsive hydrogel for stationary phases
AU Kobayashi, Jun; Kikuchi, Akihiko; Sakai, Kiyotaka; Okano, Teruo
CS Faculty of Science and Engineering, Department of Applied Chemistry, Waseda University, Shinjuku, Tokyo, 169-8555, Japan
SO Journal of Chromatography, A (2002), 958(1-2), 109-119
CODEN: JCRAEY; ISSN: 0021-9673
PB Elsevier Science B.V.
DT Journal
LA English
AB A new pH-/temperature-responsive poly(N-isopropylacrylamide-co-acrylic

acid-co-N-tert-butylacrylamide) (poly(IPAAm-co-AAc-co-tBAAm)) hydrogel grafted on silica beads was evaluated as column matrix for a cation-exchange thermoresponsive chromatog. The stationary phase showed simultaneous changes in temperature-responsive surface charge d. and hydrophobicity by incorporation of anionic AAc and hydrophobic tBAAm into IPAAm sequences. Thermoresponsive polymer property alterations were confirmed by temperature-responsive phase transition and shift in apparent pKa values. Catecholamine derivs. were retained on poly(IPAAm-co-AAc-co-tBAAm)-modified column at pH 7.0. Analyte retention was primarily due to the electrostatic interaction. It was noted that the temperature-induced phase transition of poly(IPAAm-co-AAc-co-tBAAm) hydrogel layer on the stationary phases was evidenced by the apparent inflection point in van't Hoff plots around 36 °C. This suggests that solute interactions should be changed below and above the stationary phase transition temperature, reducing electrostatic interaction above the transition temperature

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:145779 CAPLUS <<LOGINID::20070206>>
DN 137:24413
TI Spectrophotometric method for the analysis of some catecholamine drugs
AU Revanasiddappa, H. D.; Manju, B.
CS Department Of Studies In Chemistry, University Of Mysore, Mysore, 570 006, India
SO Eastern Pharmacist (2001), 44(521), 117-118
CODEN: EAPHA6; ISSN: 0012-8872
PB Eastern Pharmacist
DT Journal
LA English
AB A new spectrophotometric method for the determination of catecholamine drugs such

as dopamine hydrochloride, methyldopa and levodopa, either in the pure form or in pharmaceutical formulations was described. The method is based on measuring the intensity of the orange color developed when catecholamine derivs. were allowed to react with semicarbazide hydrochloride in an alkaline medium. The optimum reaction conditions and other anal. parameters were evaluated. The influence of the substrates commonly employed as excipients with catecholamine drugs was studied. The method is highly specific for these compds. The proposed method was applied for the assay of the drug content in pharmaceutical formulations and results demonstrated that the method is equally accurate, precise and reproducible as the official methods.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:833092 CAPLUS <<LOGINID::20070206>>
DN 135:352824
TI Local anesthesia and anesthesia reversal methods and kits using local anesthetics and α -adrenergic agonists and antagonists
IN Weber, Eckard; Katz, Howard I.
PA Novalar Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|----------|
| PI | WO 2001085171 | A1 | 20011115 | WO 2001-US40711 | 20010511 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, | | | | |

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2408417 A1 20011115 CA 2001-2408417 20010511
US 2001056125 A1 20011227 US 2001-852751 20010511
US 6432401 B2 20020813
EP 1280531 A1 20030205 EP 2001-933419 20010511
EP 1280531 B1 20070124

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003532678 T 20031105 JP 2001-581825 20010511
NZ 522930 A 20041029 NZ 2001-522930 20010511
US 2002183396 A1 20021205 US 2002-155020 20020528
US 6872390 B2 20050329
US 2002183356 A1 20021205 US 2002-155171 20020528
US 6764678 B2 20040720
ZA 2002009811 A 20031203 ZA 2002-9811 20021203
US 2004063747 A1 20040401 US 2003-668248 20030924
US 2004198816 A1 20041007 US 2004-816900 20040405
US 2005165097 A1 20050728 US 2005-81640 20050317
US 2005165098 A1 20050728 US 2005-81641 20050317
AU 2005211689 A1 20051013 AU 2005-211689 20050926
JP 2006225400 A 20060831 JP 2006-104732 20060405

PRAI US 2000-203800P P 20000512
US 2000-235855P P 20000927
JP 2001-581825 A3 20010511
US 2001-852751 A1 20010511
WO 2001-US40711 W 20010511
US 2002-155020 A1 20020528
US 2002-155171 A1 20020528

AB Methods of reversing local anesthesia are disclosed. The methods comprise administering a local anesthetic and α -adrenergic receptor agonist to induce local anesthesia, followed by reversing anesthesia with a low dose of an α -adrenergic receptor antagonist. Also disclosed are kits comprising a local anesthetic, an α -adrenergic receptor agonist and a low dose of an α -adrenergic receptor antagonist.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:214555 CAPLUS <<LOGINID::20070206>>

DN 134:305447

TI Aqueous chromatography utilizing pH-/temperature-responsive polymer stationary phases to separate ionic bioactive compounds

AU Kobayashi, Jun; Kikuchi, Akihiko; Sakai, Kiyotaka; Okano, Teruo

CS Department of Applied Chemistry Faculty of Science and Engineering, Waseda University, Shinjuku Tokyo, 169-8555, Japan

SO Analytical Chemistry (2001), 73(9), 2027-2033

CODEN: ANCHAM; ISSN: 0003-2700

PB American Chemical Society

DT Journal

LA English

AB Crosslinked poly(N-isopropylacrylamide-co-acrylic acid) (poly(IPAAm-co-AAc))-grafted silica bead surfaces were prepared and applied as new column matrix materials that exploit temperature-responsive anionic chromatog. to sep. basic bioactive compds., specifically catecholamine derivs., in aqueous mobile phases. Since poly(IPAAm-co-AAc) has a well-known temperature-responsive phase transition and apparent pKa shift, polymer-grafted silica bead surfaces are expected to exhibit simultaneous hydrophilic/hydrophobic and charge d. alterations

under thermal stimuli. Elution behavior of catecholamine derivs. from a copolymer-modified bead packed column was monitored using aqueous mobile-phase HPLC under varying temperature and pH. Catecholamine derivs. had higher retention times on poly(IPAAm-co-AAc) columns at higher pH in comparison with those on noncharged PIPAAm reference columns, suggesting an electrostatic interaction as a separation mode. Temperature also affected the retention behavior of catecholamine derivs. Optimal separation of four catecholamine derivs. was achieved at elevated temperature, 50°, and at pH 7.0. This is due to the increased hydrophobicity of the stationary phase as evidenced by the elution of a nonionic hydrophobic steroid. From these results, mutual influences of both electrostatic and hydrophobic interactions between basic catecholamine derivs. and pH-/temperature-responsive surfaces are noted. Consequently, elution of weakly charged bioactive compds. is readily regulated through the modulation of stationary-phase thermoresponsive hydrophilic/hydrophobic and charge d. changes.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:357850 CAPLUS <<LOGINID::20070206>>
DN 133:129208

TI EPR Studies of Chromium(V) Intermediates Generated via Reduction of Chromium(VI) by DOPA and Related Catecholamines: Potential Role for Oxidized Amino Acids in Chromium-Induced Cancers

AU Pattison, David I.; Lay, Peter A.; Davies, Michael J.
CS School of Chemistry, University of Sydney, Sydney, 2006, Australia
SO Inorganic Chemistry (2000), 39(13), 2729-2739
CODEN: INOCAJ; ISSN: 0020-1669

PB American Chemical Society
DT Journal
LA English

AB The redns. of K₂Cr₂O₇ by catecholamines, DOPA, DOPA-β,β-d₂, N-acetyl-DOPA, α-methyl-DOPA, dopamine, adrenaline, noradrenaline, catechol, 3,4-dihydroxybenzoic acid (DHBA), and 4-tert-butylcatechol (TBC), produce a number of Cr(V) EPR signals. These species are of interest in relation to the potential role of oxidized proteins and amino acids in Cr-induced cancers. With excess organic ligand, all of the substrates yield Cr species with signals at giso .apprx. 1.972 (Aiso(53Cr) > 23.9 + 10⁻⁴ cm⁻¹). These are similar to signals reported previously but were reassigned as octahedral Cr(V) species with mixed catechol-derived ligands, [CrV(semiquinone)₂(catecholate)]⁺. Expts. with excess K₂Cr₂O₇ show complex behavior with the catecholamines and TBC. Several weak Cr(V) signals are detected after mixing, and the spectra evolve over time to yield relatively stable substrate-dependent signals at giso .apprx. 1.980. These signals were attributed to [Cr(O)L₂]- (L = diolato) species, in which the Cr is coordinated to two cyclized catecholamine ligands and an oxo ligand. Isotopic labeling studies with DOPA (ring or side chain deuteration or enrichment with ¹⁵N), and simulation of the signals, show that the superhyperfine couplings originate from the side chain protons, confirming that the catecholamine ligands are cyclized. At pH 3.5, a major short-lived EPR signal is observed for many of the substrates at giso .apprx. 1.969, but the species responsible for this signal was not identified. Several other minor Cr signals are detected, which are attributed (by comparison with isoelectronic V(IV) species) to Cr(V) complexes coordinated by a single catecholamine ligand (and auxiliary ligands e.g. H₂O), or to [Cr(O)L₂]- (L = diolato) species with a 6th ligand (e.g. H₂O). Addition of catalase or deoxygenation of the solns. did not affect the main EPR signals. When the substrates were in excess (pH > 4.5), primary and secondary (cyclized) semiquinones were also detected. Semiquinone stabilization by Zn(II) complexation yielded stronger EPR signals (giso .apprx. 2.004).

RE.CNT 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:448287 CAPLUS <<LOGINID::20070206>>
DN 131:164781
TI Capillary electrophoretic separation enhanced by a macrocyclic dioxopolyamine additive
AU Hu, Shen; Fu, Engin; Li, Paul C. H.
CS Centre for Coastal Pollution & Conservation, City University of Hong Kong, Hong Kong; Peop. Rep. China
SO Journal of Chromatography, A (1999), 844(1 + 2), 439-446
CODEN: JCRAEY; ISSN: 0021-9673
PB Elsevier Science B.V.
DT Journal
LA English
AB Macrocyclic polyamines, or in particular dioxopolyamines, are strong receptors for analytes such as metal ions, catechol and catecholamine derivs. Based on their interaction with a dioxopolyamine compound: 1,4,7,10-tetraazacyclotridecane-11,13-dione (or dioxo[13]aneN4), the authors report its use as an additive in capillary electrophoresis (CE) to improve the separation resolution and selectivity of various model analytes. Using an imidazole-acetic acid electrolyte with dioxo[13]aneN4 as the only additive, alkali metal, alkaline earth metal and NH4+ ions can be effectively separated and detected by using CE with indirect UV detection, as opposed to the use of crown ether in which another additive, such as α -hydroxyisobutyric acid, is needed. The host-guest interaction between dioxo[13]aneN4 and metal and NH4+ ions can modify their electrophoretic mobilities, and therefore can be used to differentiate the various cations, especially between K+ and NH4+, and between Sr2+ and Ca2+. Also dioxo[13]aneN4 is an effective additive in CE to resolve nitrophenols and, in particular, dihydroxybenzenes. Also, unlike previous reports, the separation of various biogenic monoamine neurotransmitters can be achieved at neutral or physiol. pH. One of the macrocyclic dioxopolyamine derivs.: dioxo[13]aneN4 is a promising additive in CE sepns. for any chemical species: cationic, anionic and neutral.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:25020 CAPLUS <<LOGINID::20070206>>
DN 130:195142
TI Catecholamine oxidative products, but not melanin, are produced by *Cryptococcus neoformans* during neuropathogenesis in mice
AU Liu, Lide; Wakamatsu, Kazumasa; Ito, Shosuke; Williamson, Peter R.
CS Division of Infectious Disease, University of Illinois at Chicago College of Medicine, Chicago, IL, 60612, USA
SO Infection and Immunity (1999), 67(1), 108-112
CODEN: INFIBR; ISSN: 0019-9567
PB American Society for Microbiology
DT Journal
LA English
AB Melanin has been proposed as a virulence factor in *Cryptococcus neoformans*, but its presence has not been shown unambiguously in vivo. Validated methods used previously to show production of cryptococcal eumelanin pigment in vitro (P. R. Williamson, K. Wakamatsu, and S. Ito, J. Bacteriol. 180:1570-1572, 1998) were used to assess for production of laccase-derived products in mouse brain of the Lacc+ strains, 2E-TUC, H99 (serotype A), and ATCC 34873 (serotype D), and the Lacc- strain, 2E-TU. Pyrrole-2,3,5-tricarboxylic and pyrrole-2,3-dicarboxylic acid, specific degradation products of catecholamine derivs. such as melanin, were found in all Lacc+ strains, but not in the Lacc- strain, 2E-TU. However, the presence of melanin pigment itself could not be demonstrated in the same cells. Lack of the specific degradation products aminohydroxyphenylalanine and aminohydroxyphenylethylamine in Lacc+

strains upon hydriodic acid hydrolysis showed that pheomelanin was also not produced by the fungus in vivo. These are the first data to support the generation of catecholamine oxidation products by *C. neoformans* in vivo, but they do not support postenzymic polymerization of these products to form typical eumelanin, as previously proposed.

RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:593371 CAPLUS <<LOGINID::20070206>>
DN 129:288385
TI Glutathione S-transferases and prevention of cellular free radical damage
AU Ketterer, Brian
CS Department of Oncology, University College Medical School, London, W1P 8BT, UK
SO Free Radical Research (1998), 28(6), 647-658
 CODEN: FRARER; ISSN: 1071-5762
PB Harwood Academic Publishers
DT Journal; General Review
LA English
AB A review with 70 refs. on the intervention of glutathione-dependent enzymes, in particular the glutathione S-transferases (GSTs), in both the detoxication of electrophilic decomposition products resulting from the attack of oxygen radicals on lipids and DNA; and the prevention of oxygen toxicity generated by redox cycling catecholamine derivs
 . The continuing growth of our knowledge of the glutathione S-transferase polygene family is described in terms of the increase in members of known gene families, the discovery of new ones and our increasing knowledge of their activities towards endogenous substrates.

RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:236135 CAPLUS <<LOGINID::20070206>>
DN 128:275212
TI Spectrophotometric methods for the determination of certain catecholamine derivatives in pharmaceutical preparations
AU Nagaraja, P.; Murthy, K. C. Srinivasa; Rangappa, K. S.; Gowda, N. M. Made
CS Department of Studies in Chemistry, Mysore University, Mysore, 570006, India
SO Talanta (1998), 46(1), 39-44
 CODEN: TLNTA2; ISSN: 0039-9140
PB Elsevier Science B.V.
DT Journal
LA English
AB Two simple, rapid and sensitive spectrophotometric methods for the determination
 of catecholamine derivs. (pyrocatechol, dopamine, levodopa, methyl dopa) are presented. The first method involves oxidation of o-dihydroxybenzene derivs. by N-bromosuccinimide followed by oxidative coupling with isoniazid, leading to the formation of a red-colored products with maximum absorbance at $\lambda_{\text{max}} = 480-490 \text{ nm}$. The second method is based on the formation of green to blue complex with $\lambda_{\text{max}} = 635-660 \text{ nm}$ between o-dihydroxybenzene derivs. and sodium nitroprusside in the presence of hydroxylamine hydrochloride. The two procedures are carried out in an alkaline medium at room temperature. The two methods were successfully applied to the determination of dopamine hydrochloride, levodopa
and
 methyl dopa in injection and tablet pharmaceutical preps. The common excipients used as additives in pharmaceuticals did not interfere in the proposed anal. methods. The reliability of these methods was established by parallel determination using the reported and official methods.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:207857 CAPLUS <<LOGINID::20070206>>
DN 128:287683
TI Evaluation of carbon electrodes and electrosynthesis of coumestan and catecholamine derivatives in the FM01-LC electrolyzer
AU Szanto, D.; Trinidad, P.; Walsh, F.
CS Applied Electrochemistry Group, School of Pharmacy, Biomedical and Physical Sciences, University of Portsmouth, Portsmouth, PO1 2DT, UK
SO Journal of Applied Electrochemistry (1998), 28(3), 251-258
CODEN: JAELEBJ; ISSN: 0021-891X
PB Chapman & Hall
DT Journal
LA English
AB This work extends the range of electrodes and conditions under which the FM01-LC reactor was used in a laboratory environment and evaluates the performance of carbon electrodes. Reticulated vitreous carbon (RVC) was used to provide a stable, inert, three-dimensional electrode surface for organic electrosynthesis; its performance is compared to that of nickel mesh for the oxidation of catechol to o-quinone. This product was then reacted in situ with (i) 4-hydroxycoumarin and (ii) 1,3-dimethylbarbituric acid to produce, resp., coumestan and catecholamine, products of synthetic interest. In mass transport expts. using hydroquinone oxidation as a model reaction, performance was similar to nickel electrodes, but Sherwood nos. were reduced by .apprx.5-10% when carbon electrodes were used. The best-performing RVC electrode, however, showed poorer behavior than its nickel counterpart. Yields for the production of coumestan and catecholamine were .apprx.45% and 25%, resp., although this was mostly due to extraction problems, since current efficiencies were both at 65-70%. The electrode material, rather than the fluid flow behavior, leads to a reduction in overall cell efficiency; this is confirmed by studies which show a film forming on the surface of the electrode.
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:90233 CAPLUS <<LOGINID::20070206>>
DN 128:197809
TI Electrode design for efficient processing filter press cells
AU Walsh, Frank
CS Applied Electrochemistry Group, University of Portsmouth, Portsmouth, PO1 2DT, UK
SO Electrochemical Processing Technologies, International Forum, Electrolysis in the Chemical Industry, 11th, Clearwater Beach, Fla., Nov. 2-6, 1997 (1997), 193-211 Publisher: Electrosynthesis, Lancaster, N. Y.
CODEN: 65ORAS
DT Conference; General Review
LA English
AB The characteristics which make the filter-press cell the 1st choice for many applications are reviewed with refs. and the choices in cell design are highlighted. The versatility of these reactors is illustrated by a range of applications which spans inorg. and organic synthesis, environmental treatment and energy conversion. The performance of filter-press cells is often determined by the rate of mass transport to the working electrode together with the electrode area. Data is provided to show the importance of turbulence promoting meshes and 3-dimensional porous electrodes in certain applications. The application of filter-press technol. to electrochem. processing is illustrated by two examples: (a) the cathodic synthesis of L-cysteine hydrochloride at a range of cathode materials, showing the use of a batch recycle model involving charge transfer to mass transfer control and (b) the anodic oxidation of catechols at carbon to produce coumestan or catecholamine derivs., showing the use of porous, 3-dimensional electrodes.

L5 ANSWER 20 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1997:408606 CAPLUS <<LOGINID::20070206>>
 DN 127:146928
 TI Utilization of iron-catecholamine complexes involving ferric reductase activity in *Listeria monocytogenes*
 AU Coulanges, Valerie; Andre, Philippe; Ziegler, Olivier; Buchheit, Laure; Vidon, Dominique J.-M.
 CS Department des Sciences de l'Aliment, Laboratoire de Bacteriologie et Cryptogamie, Universite Louis Pasteur, U.F.R. des Sciences Pharmaceutiques, Illkirch, F-67401, Fr.
 SO Infection and Immunity (1997), 65(7), 2778-2785
 CODEN: INFIBR; ISSN: 0019-9567
 PB American Society for Microbiology
 DT Journal
 LA English
 AB *Listeria monocytogenes* is a ubiquitous potentially pathogenic organism requiring iron for growth and virulence. Although it does not produce siderophores, *L. monocytogenes* is able to obtain iron by using either exogenous siderophores produced by various microorganisms or natural catechol compds. widespread in the environment. In the presence of tropolone, an iron-chelating agent, growth of *L. monocytogenes* is completely inhibited. However, the growth inhibition can be relieved by the addition of dopamine or norepinephrine under their different isomeric forms, while the catecholamine derivs. 4-hydroxy-3-methoxyphenylglycol and normetanephrine did not relieve the inhibitory effect of tropolone. Preincubation of *L. monocytogenes* with chlorpromazine and yohimbine did not antagonize the growth-promoting effect of catecholamines in iron-complexed medium. In addition, norepinephrine stimulated the growth-promoting effect induced by human transferrin in iron-limited medium. Furthermore, dopamine and norepinephrine allowed ⁵⁵Fe uptake by iron-deprived bacterial cells. The uptake of iron was energy dependent, as indicated by inhibition of ⁵⁵Fe uptake at 0°C as well as by preincubating the bacteria with KCN. Inhibition of ⁵⁵Fe uptake by *L. monocytogenes* was also observed in the presence of Pt(II). Moreover, when assessed by a whole-cell ferric reductase assay, reductase activity of *L. monocytogenes* was inhibited by Pt(II). These data demonstrate that dopamine and norepinephrine can function as siderophore-like compds. in *L. monocytogenes* owing to their ortho-diphenol function and that catecholamine-mediated iron acquisition does not involve specific catecholamine receptors but acts through a cell-bound ferrireductase activity.

RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1994:407422 CAPLUS <<LOGINID::20070206>>
 DN 121:7422
 TI Enzymic manufacture of pharmaceutical α -glycosyl derivatives of catecholamines.
 IN Nakada, Tetsuya; Kubota, Michio
 PA Kabushiki Kaisha Hayashibara Seibutsu Kenkyujo, Japan
 SO Eur. Pat. Appl., 19 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

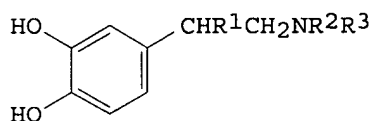
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| PI | EP 564099 | A1 | 19931006 | EP 1993-301668 | 19930305 |
| | EP 564099 | B1 | 20000209 | | |
| | R: DE, FR, GB | | | | |
| | JP 05255371 | A | 19931005 | JP 1992-101542 | 19920309 |
| | JP 3457014 | B2 | 20031014 | | |
| | US 5380837 | A | 19950110 | US 1993-57915 | 19930507 |

| | | | | |
|---------------------|----|----------|----------------|----------|
| US 5656460 | A | 19970812 | US 1994-297527 | 19940826 |
| US 5618794 | A | 19970408 | US 1995-483260 | 19950607 |
| US 5672587 | A | 19970930 | US 1995-483268 | 19950607 |
| US 5710133 | A | 19980120 | US 1995-483263 | 19950607 |
| PRAI JP 1992-101542 | A | 19920309 | | |
| US 1993-57915 | A3 | 19930507 | | |
| US 1994-297527 | B3 | 19940826 | | |

AB The D-glucose residues of an α -glucosyl saccharide are attached in α -fashion to either OH group, at C-3 and C-4, of a catecholamine moiety, by using a saccharide-transferring enzyme, optionally in conjunction with glucoamylase. The α -glucosyl saccharide is amylose, (cyclo)dextrin, maltooligosaccharide, etc. The products are stable, nonreducing, nontoxic, and exhibit the physiol. activities of catecholamines in vivo. Dextrin was incubated with methyldopa and cyclomaltodextrin glucanotransferase (from *Bacillus stearothermophilus*), at pH 5.5 and 55°, for 16 h, followed by enzyme inactivation, filtration, and treatment with glucoamylase (EC 3.2.1.3), to give 3- and 4- α -glucosylmethyldopa.

L5 ANSWER 22 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1993:101647 CAPLUS <<LOGINID::20070206>>
DN 118:101647
TI Preparation of inclusion compounds of catecholamine derivatives with high-oxidation stability and good water solubility
IN Horioka, Masayoshi; Tomono, Kazuo
PA Horioka, Masayoshi, Japan; Daiichi Seiyaku Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|------|----------|-----------------|----------|
| | ----- | --- | ---- | ----- | ----- |
| PI | JP 04266861 | A | 19920922 | JP 1991-28665 | 19910222 |
| PRAI | JP 1991-28665 | | 19910222 | | |
| OS | MARPAT 118:101647 | | | | |
| GI | | | | | |



AB Inclusion compds. containing catecholamines I [R1 = H, OH; R2, R3 = H, C1-10 alkyl, (un)substituted aralkyl] and cyclodextrin are prepared. A mixture of 0.1 g epinephrine (II) and 1.6 g β -cyclodextrin (III) in H2O was stirred at room temperature for 12 h to give 1.7 g inclusion compound with a ratio of II/III = 1/3.

L5 ANSWER 23 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:401466 CAPLUS <<LOGINID::20070206>>
DN 117:1466
TI The role of aminochromes in ultraweak luminescence accompanying oxidative metabolism of catecholamines in model systems in vitro
AU Slawinska, Danuta; Slawinski, Janusz
CS Dep. Phys., Agric. Univ., Poznan, 60637, Pol.
SO Physiological Chemistry and Physics and Medical NMR (1991), 23(4), 247-60
CODEN: PCPNER; ISSN: 0748-6642

DT Journal
LA English
AB Ultraweak luminescence accompanying oxidative transformations of catecholamines (CA) into melanins, particularly adrenaline and noradrenaline in the model system CA + Fe(CN)₆³⁻ + OH⁻ + H₂O₂ in vitro was investigated by spectroscopic methods. Sep. steps of the oxidative transformations from CA to melanins were analyzed with respect to their energetic/spectroscopic properties in order to evaluate the possibility of chemiexcitation and light emission. Results of expts. with pure adrenochrome + H₂O₂ + OH⁻ provided evidence pointing to the key role of the interaction between aminochromes and active O species.

L5 ANSWER 24 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:20844 CAPLUS <<LOGINID::20070206>>
DN 116:20844
TI Part 1. Synthesis of fluorinated catecholamine derivatives as potential adrenergic stimulants and thromboxane A₂ antagonists. Part 2. Synthesis of hydrazinium analogs of dopamine agonists and antagonists
AU Markovich, Kimberly M.
CS Ohio State Univ., Columbus, OH, USA
SO (1991) 236 pp. Avail.: Univ. Microfilms Int., Order No. DA9120692
From: Diss. Abstr. Int. B 1991, 52(2), 841
DT Dissertation
LA English
AB Unavailable

L5 ANSWER 25 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:575741 CAPLUS <<LOGINID::20070206>>
DN 115:175741
TI O-Methylated and sulfoconjugated catecholamines: differential activities at human platelet α_2 -adrenoceptors
AU Lenz, T.; Werle, E.; Strobel, G.; Weicker, H.
CS 2nd Dep. Physiol., Univ. Heidelberg, Heidelberg, 6900, Germany
SO Canadian Journal of Physiology and Pharmacology (1991), 69(7), 929-37
CODEN: CJPPA3; ISSN: 0008-4212
DT Journal
LA English
AB The physiol. effects of the sulfoconjugates of epinephrine, norepinephrine, and the 3-O-methylated catecholamines, metanephrine, normetanephrine, and methoxytyramine were examined with regard to their α_2 -adrenoceptor binding properties and aggregation activity in human platelets. Sulfoconjugation of catecholamines resulted in the loss of both their competitive potency for [³H]yohimbine binding and their influence on platelet aggregation. O-Me-substituted catecholamines showed attenuation of their α_2 -adrenoceptor binding affinities when compared with those of the corresponding non-etherified amines. Unlike the free amine epinephrine, which stimulated platelet aggregation, the O-methylated catecholamine derivs. inhibited aggregation. Inhibition was dose-dependent and restricted to the α_2 -adrenoceptor mediated aggregation response stimulated by epinephrine (1 μ M) or potentiated by subthreshold concns. of epinephrine (30-300 nM) in the presence of subaggregatory doses of vasopressin (10-30 nM). Collagen- and ADP-induced platelet aggregation was not affected. The hydrophilic β -antagonist CGP 12177 displayed no effects. However, high concns. (0.1 mM) of both isomers of the strongly lipophilic β -adrenoceptor antagonist propranolol inhibited the actions of all aggregators by stabilizing the membrane. Such a nonspecific membrane interaction of the methylated catecholamines could be excluded because of their low lipid solubility calculated in a n-octanol-phosphate buffer system at pH 7.4. Therefore, methylated catecholamines are biol. α_2 -adrenoceptor antagonists acting on α_2 -adrenoceptor-stimulated reactions of human platelets. Whether this receptor antagonism

is relevant to other human tissues needs clarification. Sulfated catecholamines, however, are wholly ineffective at this receptor site and may constitute a pathway to control the concentration of the active free catecholamines.

L5 ANSWER 26 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:463728 CAPLUS <<LOGINID::20070206>>
DN 115:63728
TI Application of home-made capillary zone electrophoresis system to the separation of organic molecules
AU Lee, Kong Joo; Heo, Gwi Suk
CS Org. Anal. Lab., Korea Stand. Res. Inst., Taejeon, 302-340, S. Korea
SO Journal of the Korean Chemical Society (1991), 35(3), 219-25
CODEN: JKCSEZ; ISSN: 1017-2548
DT Journal
LA Korean
AB Capillary zone electrophoresis (CZE), which is a highly efficient separation technique, has been domestically established having optimum detection sensitivity. By applying 20-35 kV of elec. potential to the narrow (50 μ m inside diameter) capillary tubing filled with running buffer, this technique can quickly (<20 min) sep. the small quantities of sample with high separation efficiency (number of theor. plates: 200,000-500,000). Factors affecting the separation efficiency and resolution in CZE were examined by analyzing adenine and catecholamine derivs.

L5 ANSWER 27 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:403963 CAPLUS <<LOGINID::20070206>>
DN 115:3963
TI A flow microcalorimetric study of the inhibition of acetylcholinesterase by catecholamine derivatives
AU Silva, Cristina M. P.; De Lima, M. Conceicao P.; Oliveira, Catarina R.; Carvalho, Arselio P.
CS Cent. Cell Biol., Univ. Coimbra, Coimbra, 3049, Port.
SO Thermochemica Acta (1991), 179, 221-30
CODEN: THACAS; ISSN: 0040-6031
DT Journal
LA English
AB A flow microcalorimetric method has previously been applied to the determination of bovine caudate nucleus acetylcholinesterase (I) activities in crude tissue homogenates. This simple and sensitive method, which measures the heat generated by a reaction, is also suitable for the study of the inhibition of the enzyme by specific compds. Here, this method was used to determine the effect of catecholamines and their derivs. on I activity. The results indicated that epinephrine, norepinephrine, and dopamine, in concns. of ≤ 2.5 mM, did not inhibit I, whereas metanephrine (0.8 mM), normetanephrine (0.8 mM), 3-methoxydopamine (0.6 mM), and L-DOPA (90.7 mM) decreased I activity by 37, 75, 50 and 20%, resp. The apparent inhibition rate consts. determined for these compds. were 0.09, 0.34, 0.18, and 0.19 mM⁻¹ min⁻¹. A comparison of the chemical structure and inhibitory potency of the catecholamine derivs. suggested that a 3-methoxy group is responsible for the inhibition of the enzyme and that a α -carboxyl group also reduces I activity. The comparative assays for the determination of acetylcholinesterase activities, using a flow microcalorimeter and a pH-meter, indicated that flow microcalorimetry is a useful method for enzyme kinetic studies because it has a high sensitivity and can be applied whenever heat exchange is involved in an enzymic reaction.

L5 ANSWER 28 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:403861 CAPLUS <<LOGINID::20070206>>
DN 115:3861
TI Novel oxidation chemistry of catecholamine derivatives

and related compounds

AU Sugumaran, Manickam
CS Dep. Biol., Univ. Massachusetts, Boston, MA, 02125, USA
SO Biol. Oxid. Syst., [Proc. Symp.] (1990), Meeting Date 1989, Volume 1,
347-63. Editor(s): Reddy, C. Channa; Hamilton, Gordon A.; Madyastha, K.
M. Publisher: Academic, San Diego, Calif.
CODEN: 57AYAG

DT Conference

LA English

AB Phenol oxidases, which include monophenol monooxygenases (EC 1.14.18.1),
o-diphenol oxidases (EC 1.10.3.1), and laccases (EC 1.10.3.2), belong to
copper containing monooxygenase group and are responsible for diverse biol.
processes. The quinonoid products generated by these enzymes are
considered to be the causative agents for the biol. processes. Hence, the
fate of enzymically generated quinones constitutes an important aspect of
phenol oxidase chemical. Recent studies on the enzyme catalyzed oxidative
transformations of catecholamine derivs. and related
compds. reveal that isomerization to quinone methide and subsequent
reaction is one of the principle reactions of phenoloxidases generated
quinones. The importance of these reactions in melanization and
sclerotization of insect cuticle are discussed.

L5 ANSWER 29 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1990:174551 CAPLUS <<LOGINID::20070206>>

DN 112:174551

TI Characterization of quinone tautomerase activity in the hemolymph of
Sarcophaga bullata larvae

AU Saul, Steven J.; Sugumaran, Manickam

CS Dep. Biol., Univ. Massachusetts, Boston, MA, 02125, USA

SO Archives of Insect Biochemistry and Physiology (1989), 12(3), 157-72
CODEN: AIBPEA; ISSN: 0739-4462

DT Journal

LA English

AB The hemolymph of *S. bullata* larvae was activated with either zymosan or
proteolytic enzymes, such as chymotrypsin or subtilisin, and assayed for
phenol oxidase activity by 2 different assays. While O₂-uptake studies
readily attested to the wide specificity of activated phenol oxidase,
visible spectral studies failed to confirm the accumulation of quinone
products in the case of 4-alkyl substituted catechols, such as
N-acetyldopamine and N- β -alanyldopamine. Sepharose 6B column
chromatog. of the activated hemolymph resolved phenol oxidase activity
into 2 fractions, designated A and B. Peak A possessed typical o-diphenol
oxidase (EC 1.10.3.1) activity whereas peak B oxidized physiol. important
catecholamine derivs., such as N-acetyldopamine,
N-acetyl norepinephrine, and N- β -alanyldopamine into
N-acetyl norepinephrine, N-acetyl arterenone, and N- β -
alanyl norepinephrine, resp., and converted 3,4-dihydroxyphenylacetic acid,
3,4-dihydroxy mandelic acid, and 3,4-dihydroxyphenylglycol into
3,4-dihydroxy mandelic acid, 3,4-dihydroxy benzaldehyde, and
2-hydroxy-3',4'-dihydroxyacetophenone, resp. These transformations were
consistent with the conversion of pheno oxidase-generated quinones to
quinone methides and subsequent nonenzymic transformations of quinone
methides. Accordingly, peak B contained both o-diphenol oxidase activity
and quinone tautomerase activity. Sepharose 6B column chromatog. of
unactivated hemolymph resulted in the separation of quinone tautomerase from
prophenol oxidase. The tautomerase rapidly converted both chemical made and
mushroom tyrosinase-generated quinones to quinone methides. Thus, the
failure to observe the accumulation of quinones with N-acyl derivs. of
dopamine and related compds. in the whole hemolymph is due to the rapid
conversion of these long lived toxic quinones to short-lived quinone
methides. The latter, being unstable, undergo rapid nonenzymic
transformations to form side-chain-oxygenated products that are nontoxic.
The possible roles of quinone isomerase and its reaction products, quinone
methides, as essential components of sclerotization of cuticle and defense

reaction of *S. bullata* were discussed.

L5 ANSWER 30 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1990:50701 CAPLUS <<LOGINID::20070206>>

DN 112:50701

TI Nonenzymic transformations of enzymically generated N-acetyldopamine quinone and isomeric dihydrocaffeoyl methyl amide quinone

AU Sugumaran, Manickam; Semensi, Victor; Dali, Hemalata; Saul, Steven

CS Dep. Biol., Univ. Massachusetts, Boston, MA, 02125, USA

SO FEBS Letters (1989), 255(2), 345-9

CODEN: FEBLAL; ISSN: 0014-5793

DT Journal

LA English

AB Recently it was demonstrated that the side chain hydroxylation of N-acetyldopamine and related compds. observed in several insects is caused by a 2-enzyme system catalyzing the initial oxidation of catecholamine derivs. and subsequent isomerization of the resultant quinones to isomeric quinone methides, which undergo rapid nonenzymic hydration to yield the observed products [Saul, S. J.; Sugumaran, M., 1989]. During studies on o-quinone/p-quinone methide tautomerase, quinone methides were also observed to be produced nonenzymically slowly, under physiol. conditions. The quinone methide derived from N-acetyldopamine was hydrated to yield N-acetylnorepinephrine as the stable product while the isomeric quinone methide from dihydrocaffeoyl methylamide exhibited a new reaction to form caffeoyl amide as the stable product. The identity of this product was established by UV and IR spectral studies and by chemical synthesis. No evidence of intramol. cyclization of N-acetyldopamine quinone to iminochrome-type compound(s) was found. The importance of quinone methides in these reactions is discussed.

L5 ANSWER 31 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1989:647287 CAPLUS <<LOGINID::20070206>>

DN 111:247287

TI Separation of prelabeled catecholamine derivatives by reversed-phase HPLC

IN Taki, Mamoru; Miura, Junkichi; Watanabe, Yoshio; Kamahori, Masao; Myagi, Hiroyuki

PA Hitachi, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 3 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|----------|
| | ----- | --- | ----- | ----- | ----- |
| PI | JP 01109259 | A | 19890426 | JP 1987-263853 | 19871021 |
| PRAI | JP 1987-263853 | | 19871021 | | |

AB The title method comprises labeling catecholamine derivs

. with a derivatizing agent, separation and qual. determination Silica, chemical bonded

with octadecylsilane groups, is used as the stationary phase of the separation column, while an acetonitrile-methanol-H₂O mixture containing a surfactant (e.g., 0.001-0.1 mol/L anionic surfactant) is used as the mobile phase. Durability and resolution of the separation column is improved.

L5 ANSWER 32 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1988:448544 CAPLUS <<LOGINID::20070206>>

DN 109:48544

TI Demonstration of catecholamine and resorcinolamine derivatives as formaldehyde-induced fluorescence in protein models

AU Bryan, Lesley J.; O'Donnell, Stella R.

CS Dep. Physiol. Pharmacol., Univ. Queensland, Brisbane, 4067, Australia

SO Journal of Histochemistry and Cytochemistry (1988), 36(6), 615-20

CODEN: JHCYAS; ISSN: 0022-1554

DT Journal
 LA English
 AB The potential use of the formaldehyde condensation method for histochem. demonstration of a wide range of catecholamines and resorcinolamines was assessed in protein droplet models. All of the amines tested, except salbutamol and carbutoleol, formed fluorophores, and the fluorescence was specific [i.e., there was no fluorescence in the absence of formaldehyde; the fluorescence was quenched by water; and the fluorophores were subject to photodecompn. by the exciting (405-nm) light]. Peak wavelengths of the emission spectra were 480-485 nm for fluorophores of catecholamine derivs. and 480-500 nm for fluorophores of resorcinolamine derivs. The fluorescence intensity of the catecholamines was greater than that of the resorcinolamines. Fluorophore formation was not hindered by substitution of tert-Bu, phenylisopropyl, or p-hydroxyphenylisopropyl on the amino-N in catecholamines [t-butylnorepinephrine, 1-(3',4'-dihydroxyphenyl)-2-(α -methylphenethylamino)ethanol, 1-(3',4'-dihydroxyphenyl)-2-(p-hydroxy- α -methylphenethylamino)ethanol, resp.] or resorcinolamines (terbutaline, Th1161, fenoterol, resp.), and fluorophores also formed for catecholamines with the amino-N in a ring structure (rimiterol) or with a long alkyl chain substituted on the amino-N (hexoprenaline). Thus, fluorescence microphotometry can be used to detect a range of drugs that are catecholamines or resorcinolamines, and hence it should be possible to use this technique to study the properties of dissipation of these amines in tissues.

L5 ANSWER 33 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1988:105651 CAPLUS <<LOGINID::20070206>>
 DN 108:105651
 TI Liquid chromatography of organosilicon, organogermanium, and organotin derivatives of catecholamines
 AU Chereshnya, O. P.; Ageev, A. N.; Latyaeva, V. N.; Gordetsov, A. S.
 CS USSR
 SO Fiz.-khim. Metody Anal., Gor'kii (1986) 58-61
 From: Ref. Zh., Khim. 1987, Abstr. No. 15G269
 DT Journal
 LA Russian
 AB Title only translated.

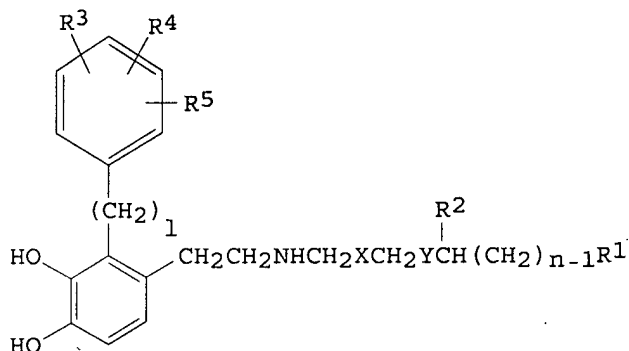
L5 ANSWER 34 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1988:55643 CAPLUS <<LOGINID::20070206>>
 DN 108:55643
 TI Catecholamine derivatives useful in the treatment of renal failure or cardiovascular disorders, and a process for their preparation
 IN Dixon, John; Ince, Francis; Springthorpe, Brian
 PA Fisons PLC, UK
 SO Eur. Pat. Appl., 20 pp.
 CODEN: EPXXDW

DT Patent
 LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | EP 223598 | A2 | 19870527 | EP 1986-309004 | 19861118 |
| | EP 223598 | A3 | 19881117 | | |
| | EP 223598 | B1 | 19910612 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | ZA 8608712 | A | 19870826 | ZA 1986-8712 | 19861117 |
| | FI 8604680 | A | 19870521 | FI 1986-4680 | 19861118 |
| | AT 64369 | T | 19910615 | AT 1986-309004 | 19861118 |
| | DK 8605534 | A | 19870521 | DK 1986-5534 | 19861119 |
| | NO 8604616 | A | 19870521 | NO 1986-4616 | 19861119 |
| | NO 165236 | B | 19901008 | | |

| | | | | |
|---------------------|----|----------|----------------|----------|
| NO 165236 | C | 19910116 | | |
| AU 8665391 | A | 19870528 | AU 1986-65391 | 19861119 |
| AU 599934 | B2 | 19900802 | | |
| JP 62175447 | A | 19870801 | JP 1986-274255 | 19861119 |
| CA 1275103 | A1 | 19901009 | CA 1986-523392 | 19861119 |
| PRAI GB 1985-28605 | A | 19851120 | | |
| GB 1986-16792 | A | 19860710 | | |
| GB 1986-16793 | A | 19860710 | | |
| GB 1986-16794 | A | 19860710 | | |
| EP 1986-309004 | A | 19861118 | | |
| OS MARPAT 108:55643 | | | | |
| GI | | | | |



AB Catecholamine derivs. I [X = C2-8 alkylene (un)interrupted by double bond or S(O)n where n = 0-2; Y = O, NH; l, m = 2-4; R1 = H, C1-4 alkyl, saturated carbocyclyl, pyridyl, Ph (un)substituted by ≥ 1 group R6; R2 = H; R2R6 = (CH2)p where p = 0-2; R3-R6 = H, C1-6 alkyl, NHR7, SH, NO2, halo, CF3, SO2R8, CH2OH, OH; R7 = H, C1-6 alkyl, C1-6 alkanoyl, C1-6 alkylsulfonyl; R8 = C1-6 alkyl, NH2; when X = uninterrupted C4 alkylene, Y = NH, m = 1 = 2, R1 = Ph, R2 = R4-R6 = H, then R3 \neq H or 4-OH] are prepared for use in the treatment or prophylaxis of renal failure or cardiovascular disorders (no data). A solution of N-[2-[3,4-dimethoxy-2-[2-(3-methoxyphenyl)ethyl]phenyl]ethyl]-N'-[2-phenylethyl]hexane-1,6-diamine.2HCl (prepared in 7 steps from 3-MeOC6H4CH2CH2Br) in 48% aqueous HBr containing H3PO2 was refluxed for 3 h under N to give I.2HBr [X = (CH2)4, Y = NH, l = m = Z, R1 = Ph, R2 = R4-R6 = H, R3 = 3-OH].

L5 ANSWER 35 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1987:526573 CAPLUS <<LOGINID::20070206>>

DN 107:126573

TI Generation of nitro radical anions of some 5-nitrofurans, 2- and 5-nitroimidazoles by norepinephrine, dopamine, and serotonin. A possible mechanism for neurotoxicity caused by nitroheterocyclic drugs

AU Rao, D. N. Ramakrishna; Mason, Ronald P.

CS Lab. Mol. Biophys., Natl. Inst. Environ. Health Sci., Research Triangle Park, NC, 27709, USA

SO Journal of Biological Chemistry (1987), 262(24), 11731-6
CODEN: JBCHA3; ISSN: 0021-9258

DT Journal

LA English

AB Catecholamine neurotransmitters such as norepinephrine, dopamine, and related catecholamine derivs. reduce nitroheterocyclic

drugs such as nitrofurantoin, nifurtimox, nifuroxime, nitrofurazone, misonidazole, and metronidazole in slightly alkaline solns. Drugs which contain 5-nitrofurans are reduced at lower pH than drugs which contain 2- and 5-nitroimidazoles. Catecholamines, when reducing nitro drugs, undergo concomitant oxidation to form semiquinone radicals. Both semiquinone radicals and nitro anion radicals formed in a reaction of nitro drug and catecholamine derivative were detected by ESR spectroscopy. Bovine chromaffin granules which synthesize and store catecholamines produced the nitrofurantoin anion radical when intact granules were treated with nitrofurantoin. These radicals formed inside the granules were observed by ESR spectroscopy. The formation of nitrofurantoin radical, semiquinone radicals of catecholamines, and O-derived radicals by chromaffin granules is proposed to cause damage to adrenal medulla, and this process may lead to neurotoxicity.

L5 ANSWER 36 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1987:474593 CAPLUS <<LOGINID::20070206>>

DN 107:74593

TI Quinone methide sclerotization: a revised mechanism for β -sclerotization of insect cuticle

AU Sugumaran, Manickam

CS Dep. Biol., Univ. Massachusetts, Boston, MA, 02125, USA

SO Bioorganic Chemistry (1987), 15(2), 194-211

CODEN: BOCMBM; ISSN: 0045-2068

DT Journal; General Review

LA English

AB A review, with 53 refs., of mol. mechanisms responsible for the stiffening and tanning of insect cuticle. Two mechanisms, viz., quinone tanning and β -sclerotization, both involving catecholamine derivs. as sclerotizing precursors, are known to strengthen the cuticle. The observation that incubation of cuticular enzyme from *Sarcophaga bullata* with 4-alkylcatechols results in the production of soluble side chain oxygenated compds. and the formation of catechol-cuticle adducts is used to deriveddd an alternate mechanism for β -sclerotization. This mechanism calls for the generation of quinone methides, tautomers of 4-alkylquinones, as the initial products of oxidation of catecholamine derivs. in cuticle. Quinone methides formed spontaneously react with available nucleophiles in cuticle, resulting in the generation of catechol-cuticle adducts and side chain hydroxylated products. Further oxidation of adducts and coupling to other structural units ensure crosslinking of cuticular components. The proposed quinone methide sclerotization accounts for all the chemical observations made on the β -sclerotized cuticle.

L5 ANSWER 37 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1987:452149 CAPLUS <<LOGINID::20070206>>

DN 107:52149

TI Determination of catecholamines in rat tissues by high-performance liquid chromatography using a precolumn fluorescence labeling method

AU Tsuchiya, Hironori; Tatsumi, Mikio; Takagi, Nobuhiko; Koike, Toru; Yamaguchi, Hideki; Hayashi, Tokishi

CS Sch. Dent., Asahi Univ., Hozumi, Japan

SO Journal of Pharmacological Methods (1987), 17(3), 263-9

CODEN: JPMED9; ISSN: 0160-5402

DT Journal

LA English

AB A HPLC method using solid-phase dansylation on alumina for precolumn fluorescence label was developed for the determination of catecholamines (norepinephrine, epinephrine, and dopamine) in various rat tissues. After alumina treatment of the tissue homogenate, catecholamines adsorbed on the alumina were dansylated by solid-phase reaction. Both the excess reagent and fluorescent degradation products produced during dansylation were washed out from the alumina. Dansylated catecholamines were eluted from the alumina and separated by reversed-phase HPLC. The 4 catecholamine

derivs., including the internal standard, were separated within 17 min, and no major interfering peak was detected on any chromatograms. The calibration graph showed a good linearity in a range of 10-500 pmol for each catecholamine per sample. This method was applied to different rat tissues, and both the recovery and the reproducibility for all samples was satisfactory. The present study provides a simple, sensitive, and selective method useful for routine pharmacol. expts. of the determination of catecholamines.

L5 ANSWER 38 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1987:95358 CAPLUS <<LOGINID::20070206>>

DN 106:95358

TI Determination method for catecholamine by high speed liquid chromatograph equipped with a fluorescent spectroscopic detector

IN Hayashi, Tokiji

PA Oyo Bunko Kiki K. K., Japan

SO Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|----------|
| PI | JP 61088148 | A | 19860506 | JP 1984-209247 | 19841005 |
| PRAI | JP 1984-209247 | | 19841005 | | |

AB The title method entails the adsorption of catecholamine by Al₂O₃ in a weakly basic solution, transformation of the adsorbed catecholamine into a fluorescent derivative, followed by desorption of the fluorescent derivative from

the Al₂O₃. Thus, catecholamine in human body fluids or in tissue extract was treated with HClO₄ to remove the protein. The pH of the solution which was separated from the protein was adjusted with NH₄OH to .apprx.6. Al₂O₃ was added to this solution and the pH of the solution was adjusted to .apprx.8.6

(so

that the catecholamine could be adsorbed by the Al₂O₃). A mixture of equal volume of 1% dansyl chloride (in acetone solution) and 0.1 M Na₂CO₃ was added to the Al₂O₃ to transform the catecholamine into a fluorescent derivative. After washing with 50% MeOH, the derivative was desorbed from the Al₂O₃ by 0.2 N AcOH in MeOH solution. The AcOH and MeOH were removed from the derivative by evaporation and the derivative was dissolved in a mobile phase solution for

high speed

liquid chromatog. After being eluted from the liquid chromatograph, the derivative was detected by a fluorescent spectroscopic detector. When the fluorescent spectroscopic detector was equipped with a spectroscope (e.g., a double monochromator) which removed stray light completely, a few pg of catecholamine could be determined

L5 ANSWER 39 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1986:424624 CAPLUS <<LOGINID::20070206>>

DN 105:24624

TI Computer simulations of the conformational properties of cyclic enkephalin analogs, catecholamine derivatives and β -turn models

AU Hassan, Moises

CS Univ. California, San Diego, CA, USA

SO (1985) 227 pp. Avail.: Univ. Microfilms Int., Order No. DA8527481

From: Diss. Abstr. Int. B 1986, 46(11), 3859

DT Dissertation

LA English

AB Unavailable

L5 ANSWER 40 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1986:219261 CAPLUS <<LOGINID::20070206>>

DN 104:219261

TI High-performance liquid chromatographic determination of urinary catecholamines by pre-column solid-phase dansylation on alumina
AU Tsuchiya, Hironori; Tatsumi, Mikio; Takagi, Nobuhiko; Koike, Toru; Yamaguchi, Hideki; Hayashi, Tokishi
CS Sch. Dent., Asahi Univ., Hozumi, Japan
SO Analytical Biochemistry (1986), 155(1), 28-33
CODEN: ANBCA2; ISSN: 0003-2697
DT Journal
LA English
AB Sensitive and selective HPLC determination of catecholamines by pre-column solid-phase dansylation was described. After catecholamines were adsorbed on alumina, the amino groups not responsible for adsorption were dansylated by a solid-phase reaction. The excess reagent and fluorescent contaminants was washed out, and the dansylated catecholamines were eluted and separated by reversed-phase HPLC. The 4 catecholamine derivs. could be separated within 10 min, and no major interfering peak was observed on chromatograms. The response of each catecholamine was linear from 10 to 500 pmol per sample, and the detection limit was 0.5 pmol. This method was applied to determination of catecholamines in human urine.

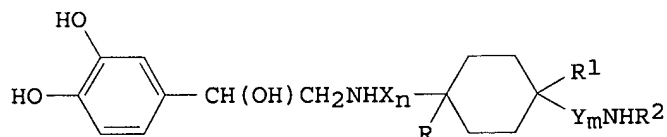
L5 ANSWER 41 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1986:162092 CAPLUS <<LOGINID::20070206>>
DN 104:162092
TI Computer simulations of the conformations of catecholamine derivatives
AU Hassan, Moises; Goodman, Murray
CS Dep. Chem., Univ. California, La Jolla, CA, 92093, USA
SO Annals of the New York Academy of Sciences (1985), 446(Macromol. Drugs Carrier Biol. Act. Mater.), 185-98
CODEN: ANYAA9; ISSN: 0077-8923
DT Journal
LA English
AB Computer simulation of the mol. dynamics and energy min. suggested that catecholamine analogs are very flexible mols. which prefer folded conformations stabilized by van der Waals interactions between 2 aromatic rings. Analogs with (RS) chirality folded in a different manner than those with (SS) chirality resulting in different sides of the catechol ring open for interaction with the receptor.

L5 ANSWER 42 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1986:141734 CAPLUS <<LOGINID::20070206>>
DN 104:141734
TI Quantitative structure-activity relationships of beta-adrenergic agents. Application of the computer automated structure evaluation (CASE) technique of molecular fragment recognition
AU Klopman, Gilles; Kalos, Alexander N.
CS Dep. Chem., Case West. Reserve Univ., Cleveland, OH, 44106, USA
SO Journal of Theoretical Biology (1986), 118(2), 199-214
CODEN: JTBIAP; ISSN: 0022-5193
DT Journal
LA English
AB A quant. structure-activity anal. of adenylate cyclase [9012-42-4] coupled β -adrenergic receptor agonists and antagonists (i.e. phenethylamines) in the frog erythrocyte membrane was made. On the basis of mol. structural fragment descriptors, automatically generated by the CASE (computer automated structure evaluation) methodol., catecholamine derivs. were correctly classified as agonists or antagonists. The potency of these agents in each category, as well as their binding-affinity for the β -receptor was correlated through a multivariate regression anal.

L5 ANSWER 43 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1986:48084 CAPLUS <<LOGINID::20070206>>

DN 104:48084
 TI Reversed-phase liquid chromatographic retention behavior of catechol amino acids
 AU Ishimitsu, T.; Hirose, S.; Sakurai, H.
 CS Kyoto Pharm. Univ., Kyoto, 607, Japan
 SO Talanta (1985), 32(9), 865-73
 CODEN: TLNTA2; ISSN: 0039-9140
 DT Journal
 LA English
 AB For a group of catechol amino acids varying widely in acid strength and hydrophobicity, the effects of mobile phase composition, pH, and ionic strength on their reversed-phase chromatog. separation have been determined, with phosphate buffer as mobile phase. Retention data were measured for 18 catecholamine derivs. The retardation factors and retention behavior of all the compds. tested could be explained in terms of the acid dissociation and tautomeric consts.

L5 ANSWER 44 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1985:589693 CAPLUS <<LOGINID::20070206>>
 DN 103:189693
 TI Irreversible inactivation of the β -adrenoreceptor by a partial agonist. Evidence for selective loss of the agonist high affinity binding sites
 AU Baker, Stephen P.; Liptak, Andras; Pitha, Josef
 CS Coll. Med., Univ. Florida, Gainesville, FL, 32610, USA
 SO Journal of Biological Chemistry (1985), 260(29), 15820-8
 CODEN: JBCHA3; ISSN: 0021-9258
 DT Journal
 LA English
 GI

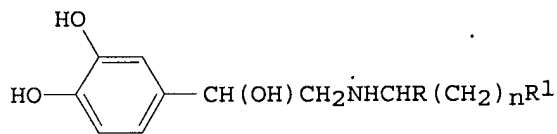


- I, $R=R^2=H$, $R^1=Me$, $X=CMe_2$, $m=0$, $n=1$
 II, $R=Me$, $R^1=R^2=H$, $Y=CMe_2$, $m=1$, $n=0$
 III, $R=H$, $R^1=Me$, $R^2=COCH_2Br$, $X=CMe_2$, $m=0$, $n=1-HBr$
 IV, $R=Me$, $R^1=H$, $R^2=COCH_2Br$, $Y=CMe_2$, $m=1$, $n=0, -HBr$

AB The catecholamine derivs. aminomenthylnorepinephrine (mixture of I [99081-68-2] and II [99081-69-3]; compound 1) and bromoacetylaminomenthylnorepinephrine (mixture of III [99081-70-6] and IV [99081-71-7]; compound 2) were synthesized and their interaction with the rat lung β -adrenoreceptor was characterized. Compared to (-)-isoproterenol, compds. 1 and 2 were 10 and 280 times less potent, resp., at inhibiting (-)-[3H]dihydroalprenolol binding. At pH 7.4, all 3 compds. induced a loss of receptors (40-60%) which could be recovered by treatment with guanylyl-5'-yl imidodiphosphate (Gpp(NH)p). However, at pH 8.1 Gpp(NH)p treatment did not recover those receptors lost by compound 2 only. The compound 2-induced receptor loss at pH 8.1 was time-dependent, prevented by propranolol by unaffected by Gpp(NH)p or after membrane heating at 50 ° which prevented the formation of the agonist high affinity binding state. Although, the maximal receptor loss as measured by [3H]dihydroalprenolol was 40-60%, more than 80% of the receptors were lost when measured by direct agonist binding, and the receptors left

showed little agonist high affinity binding state formation. In rat reticulocyte membrane, compds. 1 and 2 stimulated adenylate cyclase [9012-42-4] activity with intrinsic activities of 0.55 and 0.31, resp. However, at pH 8.1, compound 2 initially stimulated the enzyme followed by a blockade. These data indicated that both compds. 1 and 2 were partial β -adrenoreceptor agonists and, at pH 8.1, compound 2 appeared to bind irreversibly only to those lung receptors able to form the agonist high affinity binding state. Furthermore, after irreversible binding, compound 2 appeared to act as an antagonist.

L5 ANSWER 45 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1985:166529 CAPLUS <<LOGINID::20070206>>
 DN 102:166529
 TI Conjugates of catecholamines. 6. Synthesis and β -adrenergic activity of N-(hydroxyalkyl)catecholamine derivatives
 AU Reitz, Allen B.; Avery, Mitchell A.; Rosenkranz, Roberto P.; Verlander, Michael S.; Melmon, Kenneth L.; Hoffman, Brian B.; Akita, Yasio; Castagnoli, Neal; Goodman, Murray
 CS Dep. Chem., Univ. California, San Diego, La Jolla, CA, 92093, USA
 SO Journal of Medicinal Chemistry (1985), 28(5), 642-7
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 102:166529
 GI



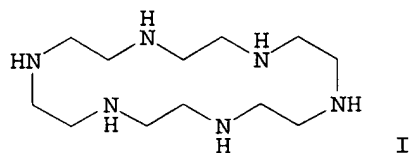
AB Catecholamines I (R = H, Me; R1 = OH, O2CNHR2, O2CC6H4Me-4; R2 = C6H4Me-4, cyclohexyl, Bu, COC6H4Me-4, SO2C6H4Me-4; n = 2-4) were prepared. I were prepared with the goal of eventual attachment to polymeric carrier mols. The β -adrenergic agonist activity of I was evaluated in vitro by measuring the intracellular accumulation of cAMP in S49 mouse lymphoma cells and by the displacement of iodocyanopindolol (ICYP). I (R = Me, R1 = O2NHBu, n = 3) was the most active compound with a potency 190 times greater than dl-isoproterenol in the S49 assay.

L5 ANSWER 46 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1984:61662 CAPLUS <<LOGINID::20070206>>
 DN 100:61662
 TI Application of the congener approach to the design and synthesis of peptide-catecholamine conjugates
 AU Verlander, M. S.; Jacobson, K. A.; Reitz, A. B.; Rosenkranz, R. P.; Melmon, K. L.; Goodman, M.
 CS Dep. Chem., Univ. California, San Diego, La Jolla, CA, 92093, USA
 SO Polymer Science and Technology (Plenum) (1983), 23(Polym. Med.), 57-75
 CODEN: POSTB5; ISSN: 0093-6286
 DT Journal
 LA English
 AB The β -sympathomimetic activities of conjugates of norepinephrine and isoproterenol with peptides and other compds. are described. Dramatic alterations in the potency of catecholamine derivs. were effected through structural modifications at a point which is far-removed from the previously-assumed biol. active portion of the mol. These effects were demonstrated both for low mol. weight model derivs. and for a series of small, monodisperse peptide conjugates of varying

structures and mol. wts. Thus, high mol. weight carriers may not be required for effective carrier-drug conjugates. Since the changes in in vitro potency can be directly related to differences in affinity for the β -receptor, the results suggest a potential for both a clearer understanding of the mechanism of binding of these drugs to the β -receptor and a novel structure-activity approach for the design of new and useful drugs. The synthesis of these compds. is discussed.

L5 ANSWER 47 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1983:468286 CAPLUS <<LOGINID::20070206>>
 DN 99:68286
 TI Catecholamines and their derivatives in the investigation of pheochromocytoma
 AU Zoghbi, F.; Landault, C.; Salmon, N.; Legrand, J. C.
 CS Lab. Biochim., Fac. Med. Pitie-Salpetriere, Paris, F-75651/13, Fr.
 SO Annales de Medecine Interne (1983), 134(3), 230-2
 CODEN: AMDIBO; ISSN: 0003-410X
 DT Journal; General Review
 LA French
 AB A review with 4 refs.

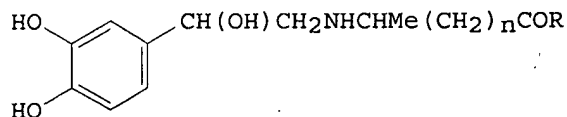
L5 ANSWER 48 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1983:137091 CAPLUS <<LOGINID::20070206>>
 DN 98:137091
 TI A catechol receptor model by macrocyclic polyamines
 AU Kimura, Eiichi; Watanabe, Asuka; Kodama, Mutsuo
 CS Sch. Med., Hiroshima Univ., Kasumi, 734, Japan
 SO Journal of the American Chemical Society (1983), 105(7), 2063-6
 CODEN: JACSAT; ISSN: 0002-7863
 DT Journal
 LA English
 GI



AB Polarog. studies showed that 18-azacrown-6 (I) [296-35-5] forms stable complexes with and thus is a strong receptor for catechol [120-80-9], catecholamine derivs., O-methylated catechols, and drugs, some of which are recognized by biol. catecholamine receptors. I may thus be useful for the elucidation of receptor function, for medicinal applications (drug carrier, etc.), or for anal. applications (anal. of catecholamines, etc.).

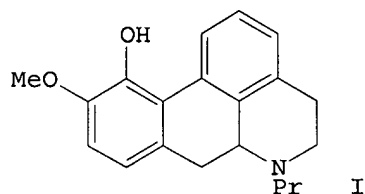
L5 ANSWER 49 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1982:544603 CAPLUS <<LOGINID::20070206>>
 DN 97:144603
 TI Biologically active catecholamine derivatives
 IN Goodman, Murray; Verlander, Michael S.; Jacobson, Kenneth A.; Melmon, Kenneth L.; Castagnoli, Neal
 PA University of California, Berkeley, USA
 SO U.S., 7 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--------------------------------------|------|----------|-----------------|----------|
| PI | US 4337207 | A | 19820629 | US 1980-184000 | 19800904 |
| PRAI | US 1980-184000 | | 19800904 | | |
| OS | CASREACT 97:144603; MARPAT 97:144603 | | | | |
| GI | | | | | |



AB β -Adrenergic catecholamine derivs. (I; n = 1-15; R = NHR₁; R₁ = H, alkyl, aryl) were prepared. Thus, reductive amination of MeCO(CH₂)₄CO₂H with norepinephrine gave 74% I (n = 4, R = OH), which was amidated with p-MeC₆H₄NH₂.HCl in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.HCl to give 41% I (n = 4; R = p-MeC₆H₄NH; HCl salt), which showed more β -adrenergic activity than isoproterenol.

L5 ANSWER 50 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1982:539192 CAPLUS <<LOGINID::20070206>>
 DN 97:139192
 TI [3H]N-propylapomorphine and [3H]spiperone binding in brain indicate two states of the D₂-dopamine receptor
 AU Battaglia, George; Titeler, Milt
 CS Dep. Pharmacol., Univ. Toronto, Toronto, ON, M5S 1A9, Can.
 SO European Journal of Pharmacology (1982), 81(3), 493-8
 CODEN: EJPHAZ; ISSN: 0014-2999
 DT Journal
 LA English
 GI



AB 3H-labeled N-propylapomorphine (NPA) (I) [18426-20-5] labels a sub-set of D₂-dopamine receptors in a bovine caudate particulate preparation; 3H-labeled spiperone [749-02-0], a dopamine receptor antagonist, labels twice as many sites as [3H]NPA. Dopaminergic ergots and potent neuroleptics compete for both radioactive ligands with similar high affinities. Catecholamines and catecholamine derivs. compete more potently for [3H]NPA binding than for [3H]spiperone binding. Guanyl nucleotides reduce both [3H]NPA binding and the high-affinity phase of catecholamine and catecholamine derivative competition for [3H]spiperone binding. These results are similar to binding results reported in studies of 2-state receptors linked to adenylate cyclase, such as the β -adrenergic receptors. The D₂-dopamine receptor in the brain may exist in 2 states and may be inversely coupled to brain adenylate cyclase activity.

L5 ANSWER 51 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1982:466537 CAPLUS <<LOGINID::20070206>>

DN 97:66537

TI Fused silica capillary gas chromatography/negative chemical ionization mass spectrometry for determination of catecholamines and their O-methylated metabolites

AU Martin, Jeffrey T.; Barchas, Jack D.; Faull, Kym F.

CS Sch. Med., Stanford Univ., Stanford, CA, 94305, USA

SO Analytical Chemistry (1982), 54(11), 1806-11

CODEN: ANCHAM; ISSN: 0003-2700

DT Journal

LA English

AB Determination of the pentafluoropropionyl derivative of normetanephrine [97-31-4] by

gas chromatog.-mass spectrometry (GC/MS) in the neg. chemical ionization (NCI) mode yields a 200-fold and 350-fold increase in sensitivity compared with detns. done in the pos. chemical ionization and electron impact modes, resp. Two classes of derivs. for the catecholamines and their O-Me metabolites suitable for GC/MS applications in the NCI mode were prepared. The 2 derivatization schemes are discussed and the NCI mass spectral characteristics of the corresponding derivs. are compared. In addition, the pentafluoropropionyl derivs. of the catecholamines and their O-methylated metabolites were found to have vastly improved chromatog. characteristics when fused silica capillary columns were used compared with conventional packed columns. This improvement, which is attributed to the reduced chemical reactivity of the fused silica capillary columns, offers advantages for trace level anal. of these compds. The quant. assay was based on selected ion recordings in the NCI mode of the mol. anions at m/z 621 (normetanephrine-d0) and 626 (normetanephrine-d5). At 150 pg-5 mg, this procedure produced linear standard curves. The normetanephrine concentration

in

human cerebrospinal fluid varied widely between subjects. The relative standard deviation was <20% in 62% of the cases examined

L5 ANSWER 52 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1982:419646 CAPLUS <<LOGINID::20070206>>

DN 97:19646

TI Substrate stereospecificity and selectivity of catechol O-methyltransferase for DOPA, DOPA derivatives, and α -substituted catecholamines

AU Gordonsmith, Roger H.; Raxworthy, Michael J.; Gulliver, Peter A.

CS Dep. Pharmacol., Univ. Leeds, Leeds, LS2 9JT, UK

SO Biochemical Pharmacology (1982), 31(3), 433-7

CODEN: BCPA6; ISSN: 0006-2952

DT Journal

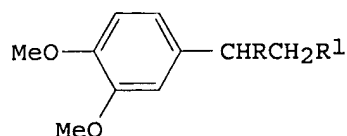
LA English

AB Pig liver catechol O-methyltransferase (I), purified 914-fold, showed stereospecificity toward L-DOPA, which had a higher K_m (1.7 vs. 2.05 mM) and V_{max} (291.9 vs. 194.6 milliunits/mg protein) than D-DOPA. Methylation of 5-S-L-cysteinyl-L-DOPA was catalyzed extremely slowly by I, despite the comparatively high affinity of the enzyme for the substrate (K_m = 0.74 mM). The affinity of I for DOPA, noradrenaline, and isoprenaline was decreased by α -substitution, but the suicide inhibitors of DOPA decarboxylase, fluoro- and difluoro- α -methyl-DOPA, were better I substrates than α -methyl-DOPA, presumably because the electron-withdrawing effect of the presence of F in their structure overcomes the steric influence of the α -Me group. However, benserazide, a DOPA decarboxylase inhibitor in clin. use, was a much better I substrate and may have the therapeutic advantage of decreasing methylation of L-DOPA. α -Methyldopamine had a lower K_m and higher V_{max} than dopamine. Thus, I has the ability to differentiate between different sidechains on the catechol nucleus, with the natural isomer of the catechol being the preferred substrate and biosynthetic precursors of catecholamines being poor substrates.

L5 ANSWER 53 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1982:161877 CAPLUS <<LOGINID::20070206>>
 DN 96:161877
 TI Phenoxy radicals: formation, detection, and redox properties in aqueous solutions
 AU Neta, P.; Steenken, S.
 CS Radiat. Lab., Univ. Notre Dame, Notre Dame, IN, 46556, USA
 SO Oxygen Oxy-Radicals Chem. Biol., [Proc. Int. Conf.] (1981), Meeting Date 1980, 83-8. Editor(s): Rodgers, Michael A. J.; Powers, Edward Lawrence. Publisher: Academic, New York, N. Y.
 CODEN: 46WOAA
 DT Conference
 LA English
 AB The reversible electron exchange kinetics of p-Me₂NC₆H₄O• with p-HOC₆H₄O- or o-HOC₆H₄O-, of radical from (±)-DOPA with o-HOC₆H₄O- or p-Me₂NC₆H₄O- (I) were determined and the redox equilibrium consts. were calculated
 Similarly, the electron transfer reactions of I with the radicals from norepinephrine, 3,4-(HO)₂C₆H₃CH₂CO₂H, 3-hydroxytyramine, adrenaline, 5-hydroxyindole, or 5-hydroxytryptophan were examined

L5 ANSWER 54 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1981:402855 CAPLUS <<LOGINID::20070206>>
 DN 95:2855
 TI The use of a new silylating agent for analysis of catecholamines by gas chromatography-mass spectrometry
 AU Miyazaki, Hiroshi; Ishibashi, Masataka; Yamashita, Kouwa; Yakushiji, Makoto
 CS Res. Lab., Nippon Kayaku Co., Tokyo, 115, Japan
 SO Chemical & Pharmaceutical Bulletin (1981), 29(3), 796-803
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 AB 3-Methoxytyramine, dopamine, norepinephrine, epinephrine and 6-hydroxydopamine were derivatized to their N-trifluoroacetyl-O-dimethyl-n-propylsilyl ether or 2'-O-methyl-N-trifluoroacetyl-O-dimethyl-n-propylsilyl ether derivs. by treatment with trifluoroacetic anhydride, MeOH, and then dimethyl-p-propylsilyl imidazole. These derivs. could be separated completely by gas chromatog. (GC) on a nonpolar liquid stationary phase such as OV-101. In addition, these derivs. were very stable in benzene and EtOAc, and showed excellent stability during silica gel column chromatog. in comparison with the corresponding trimethylsilyl ether derivs. In GC-mass spectrometry (MS) of these derivs., NH₃ chemical ionization (CI)-MS provided the ion [M+NH₄]⁺ as the base peak or an intense peak. The detection limit of the dopamine derivative in the CI mode with NH₃ was 2 pg with signal to noise at 2:1.

L5 ANSWER 55 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1981:140134 CAPLUS <<LOGINID::20070206>>
 DN 94:140134
 TI A conformational study on some catecholamine derivatives
 AU Brussee, J.; Erkelens, C.; Jansen, A. C. A.; Gerritsma, K. W.
 CS Dep. Pharmacochem., Univ. Leiden, Leiden, 2300 RA, Neth.
 SO Pharmaceutisch Weekblad, Scientific Edition (1980), 2(4), 106-11
 CODEN: PWSEDI; ISSN: 0167-6555
 DT Journal
 LA English
 GI



AB The ORD and NMR of catecholamines I (R = OH, R1 = NH2, NHMe, NMe2, N+Me3.I-; R = OMe, R1 = NH2, NHMe, NMe2; R = Me, R1 = NH2, NHMe) in acidic and alkaline solns. showed that the conformation of the side chains of I was only influenced by the charge-charge interaction between protonated amino group and the electroneg. β -oxygen atom. The conformational equilibrium of the side chains were not influenced by internal H bonding.

L5 ANSWER 56 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1981:60536 CAPLUS <<LOGINID::20070206>>

DN 94:60536

TI Inhibition of acetylcholinesterase by 3-methoxy catecholamine derivatives

AU Martinez de Melian, Elena; Farias, Ricardo N.

CS Fac. Bioquim., Quim. Farm., Univ. Nac. Tucuman, Tucuman, Argent.

SO FEBS Letters (1980), 121(1), 37-40

CODEN: FEBLAL; ISSN: 0014-5793

DT Journal

LA English

AB Nineteen catecholamines were tested for inhibitory against acetylcholinesterase from human erythrocyte membranes and rat brain synaptosomes. Those analogs with a methoxy group were active inhibitors with a reversible and noncompetitive action. The concentration of inhibitor required for 50% inhibition ranged from 0.08 mM for (+)-normetanephrene to 0.56 mM for 3-methoxydopamine. The physiol. significance of these results is discussed.

L5 ANSWER 57 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1980:602518 CAPLUS <<LOGINID::20070206>>

DN 93:202518

TI Investigations of the production of antibodies towards catecholamines and metabolites

AU Knoll, E.; Wisser, H.; Diener, U.; Herrmann, R.

CS Div. Clin. Chem., Robert-Bosch-Krankenhaus, Stuttgart, 7000/50, Fed. Rep. Ger.

SO Symposia of the Giovanni Lorenzini Foundation (1979), 3(Radioimmunoassay Drugs Horm. Cardiovasc. Med.), 217-25

CODEN: SGLFD9; ISSN: 0166-1167

DT Journal

LA English

AB Antigens were prepared from p-tyramine, octopamine, and synephrine (I) by coupling each to bovine albumin by a formaldehyde condensation reaction, and to normetanephrene (II) by succinylation and linkage to γ -globulin. Rabbits were immunized with the antigens. Antibodies to the 1st 2 compds. were of low titer; those to the latter 2 were of high titer. Antibodies to II crossed reacted only with metanephrene (153% of that with II). Antiserums to I crossreacted only with metanephrene (78.6%) and epinephrine (2%). Preparation of antibodies to other catecholamine metabolites are reviewed.

L5 ANSWER 58 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1980:462737 CAPLUS <<LOGINID::20070206>>

DN 93:62737

TI Detection of endogenous salsolinol in neonatal rat tissue by a radioenzymic-thin-layer chromatographic assay

AU Nesterick, Christine A.; Rahwan, Ralf G.

CS Coll. Pharm., Ohio State Univ., Columbus, OH, 43210, USA
 SO Journal of Chromatography (1979), 164(2), 205-16
 CODEN: JOCRAM; ISSN: 0021-9673
 DT Journal
 LA English
 AB A sensitive radioenzymic-thin-layer chromatog. assay for the quant. anal. of the tetrahydroisoquinoline alkaloid, salsolinol (I) [27740-96-1], in plasma and neonatal rat tissue is described. The assay involved the enzymic O-methylation of I and subsequent separation by thin-layer chromatog. (TLC) of the resultant 3H-labeled 7-O-methyl-salsolinol [89-31-6], from the O-methylated derivs. of dopamine, epinephrine and norepinephrine. The silica gel TLC plates were developed in tert amyl alc.-toluene-40% methylamine (6:2:3). The method allowed the detection of as little as 100 pg I/g tissue, and the accurate quantitation of as little as 100 pg/mL plasma and 500 pg/g tissue. This assay permitted the detection of trace amts. of endogenous I in neonatal rat tissue (<500 pg/g tissue).

L5 ANSWER 59 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1980:146426 CAPLUS <<LOGINID::20070206>>
 DN 92:146426
 TI Catecholamine derivatives and pharmaceutical compositions containing them
 IN Ginos, James Z.; Cotzias, George C.
 PA Cornell Research Foundation, Inc., USA
 SO U.S., 6 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | US 4181738 | A | 19800101 | US 1977-812854 | 19770705 |
| | US 4608391 | A | 19860826 | US 1979-30578 | 19790416 |
| PRAI | US 1976-746026 | A1 | 19761130 | | |
| | US 1977-812854 | A3 | 19770705 | | |
| OS | MARPAT 92:146426 | | | | |
| AB | 3,4-(HO)2C6H3CH2CH2NRR1 [I; R = C4-20 alkyl, C4-20 [(alkyl)cycloalkyl]alkyl or (alkyl)cycloalkyl, aralkyl; R1 = C1-20 alkyl, C4-20 [(alkyl)cycloalkyl]alkyl or (alkyl)cycloalkyl, aralkyl] and pharmaceutically acceptable salts, useful for treatment of Parkinson's disease (no data), were prepared Thus, BuNHMe was acylated with 3,4-(MeO)2C6H3CH2COCl, the amide was reduced by B2H6, and the amine was O-demethylated by HI-Ac2O and treated with HCl-saturated Et2O to give I.HCl (R = Bu, R1 = Me). | | | | |

L5 ANSWER 60 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1980:70941 CAPLUS <<LOGINID::20070206>>
 DN 92:70941
 TI Catecholamine stimulation of the gibberellin action that induces lettuce hypocotyl elongation
 AU Kamisaka, Seiichiro
 CS Fac. Sci., Osaka City Univ., Osaka, 558, Japan
 SO Plant and Cell Physiology (1979), 20(7), 1199-207
 CODEN: PCPHA5; ISSN: 0032-0781
 DT Journal
 LA English
 AB Catecholamine derivs., e.g. epinephrine bitartrate [51-42-3], norepinephrine bitartrate [51-40-1], dopamine-HCl [62-31-7], and 3,4-dihydroxymandelic acid [775-01-9] synergistically enhanced the promoting effect of gibberellic acid (GA) [77-06-5] on lettuce hypocotyl elongation. In contrast, DL-metanephrine [4672-76-8], DL-normetanephrine-HCl [1011-74-1], DOPA [2394-20-9] and DL-3-methoxy-4-hydroxymandelic acid [55-10-7] did not enhance the GA effect. The action of catecholamines was inhibited by trans-cinnamic acid

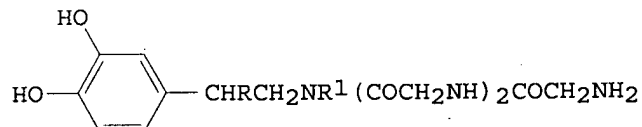
[140-10-3] which competitively inhibited the action of dihydroconiferyl alc. [2305-13-7]; this suggests that the receptor site for catecholamines is the same as that for dihydroconiferyl alc. The basic EtOAc fraction from lettuce seedlings synergistically enhanced the GA effect. Thin-layer chromatog. of this basic EtOAc fraction revealed that the chromatog. area corresponding to authentic catecholamines could enhance the GA effect. A role for catecholamines in the regulation of lettuce hypocotyl elongation caused by GA is possible.

L5 ANSWER 61 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1979:179660 CAPLUS <<LOGINID::20070206>>
DN 90:179660
TI Evaluation of substituent contributions to chromatographic retention: quantitative structure-retention relationships
AU Chen, Bor-Kuan; Horvath, Csaba
CS Dep. Eng. Appl. Sci., Yale Univ., New Haven, CT, USA
SO Journal of Chromatography (1979), 171, 15-28
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB The use of multiple regression anal. with the indicator variables in the statistical formulation of quant. structure and retention relations is demonstrated. Retention data of aromatic-aliphatic acids in paper chromatog. and those of catecholamine derivs. in reversed-phase liquid chromatog. with octadecylsilica stationary phases and an aqueous eluent were analyzed. Statistical tests showed that the substituent parameters ΔR_M , or the corresponding τ values in column chromatog., can be estimated with high accuracy. Very good agreement was found between the observed and predicted R_M or k values, the latter expressing the logarithm of the retardation (capacity) factor. Data obtained with different octadecylsilica stationary phases at various temps. suggest that quant. structure-retention relations can be transformed from one reversed-phase system to another as long as the eluent composition is the same.

L5 ANSWER 62 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1978:486560 CAPLUS <<LOGINID::20070206>>
DN 89:86560
TI The catecholamines and their metabolites [assay procedures]
AU Sullivan, Jay M.; Jacobs, Barbara; Dearborn, Elizabeth C.; Skillman, John J.
CS Dep. Med., Univ. Tennessee Coll. Med., Memphis, TN, USA
SO Horm. Hum. Blood: Detect. Assay (1976), 698-719. Editor(s): Antoniadou, Harry N. Publisher: Harvard Univ. Press, Cambridge, Mass.
CODEN: 38LSA4
DT Conference; General Review
LA English
AB A review with 24 refs. concerning the assay of catecholamines, O-methylated catecholamine derivs., and vanillylmandelic acid in urine and determination of norepinephrine and epinephrine in blood plasma.

L5 ANSWER 63 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1978:442760 CAPLUS <<LOGINID::20070206>>
DN 89:42760
TI Catechol amine derivatives
IN Shimizu, Fumio; Yanaihara, Noboru
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------|------|----------|-----------------|----------|
| PI | JP 53007631 | A | 19780124 | JP 1976-81342 | 19760707 |
| | JP 57034823 | B | 19820726 | | |
| PRAI | JP 1976-81342 | A | 19760707 | | |
| GI | | | | | |



AB Catecholamine derivs. I (R, R1 = OH, Me; H, H; OH, H; resp.) were prepared by reaction of 3,4-(HO)2C6H3CHRCH2CHR1 (II) with protected triglycine. Thus, a mixture of 0.89 g Z-Gly-Gly-Gly (Z = PhCH2O2CNH) and 0.28 mL N-methylmorpholine in 2:1 THF-DMF was added to a mixture of 0.34 mL Me2CHCH2COCl, 0.46 g L-epinephrine and 0.35 μ L tetra-Et pyrophosphite in 1:2 THF-DMF at -15° and the whole stirred 5 min at 0° and 15 min at 20° to give Z-Gly-Gly-Gly-epinephrine. This was reduced with H in 1:1 MeOH-H2O in the presence of Pd to give I (R = OH, R1 = Me) (II), which was converted to 0.58 g monoacetate of II, useful as a parkinsonism inhibitor (no data).

L5 ANSWER 64 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1978:419401 CAPLUS <<LOGINID::20070206>>

DN 89:19401

TI An improved method for analysis of catecholamines. Gas-liquid chromatography (GLC) equipped with electron-capture detector

AU Kawano, Teruaki; Niwa, Masami; Fujita, Yuhzo; Ozaki, Masayori; Mori, Kazuo

CS Dep. Neurosurg., Nagasaki Univ., Nagasaki, Japan

SO Japanese Journal of Pharmacology (1978), 28(1), 168-71

CODEN: JJPAAZ; ISSN: 0021-5198

DT Journal

LA English

AB Catecholamines (CA) and their metabolites were separated by using siliconized glass columns packed with Chromosorb W-AW-DMCS (alc. treatment, 60-80 mesh) coated with 2% OV 15. α -Methyldopamine and α -methylnorepinephrine were used as internal stds. for dopamine and norepinephrine, resp.; Aldrin was used as internal standard for epinephrine; and pentafluoropropionic acid anhydride (I) was used as the fluoroacylating agent. Tissues and organs from 250-300-g male Wistar rats were extracted for anal. of CA by the method for M. T. Wang et al. (1975); the CAs adsorbed on alumina at pH 8.6 were eluted with 0.4N HOAc-MeOH, lyophilized, and perfluoroacetylated; internal stds. were added prior to homogenization. Contents of epinephrine, dopamine, and norepinephrine are reported for brain, heart, spleen, and adrenal gland. Interference by other related amines was negligible because they are not adsorbed on the alumina, and the use of the electron-capture detector in gas chromatog. made possible the simultaneous determination of small amts. of CA.

L5 ANSWER 65 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1977:601149 CAPLUS <<LOGINID::20070206>>

DN 87:201149

TI Syntheses of conformationally rigid catecholamine derivatives

AU Oka, Yoshikazu; Motohashi, Michio; Sugihara, Hirosada; Miyashita, Osamu;

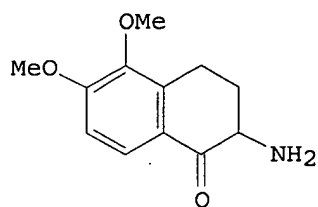
Itoh, Katsumi; Nishikawa, Masao; Yurugi, Shojiro

CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan

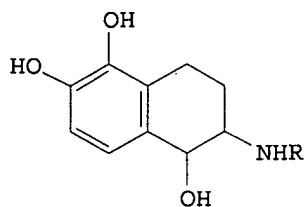
SO Chemical & Pharmaceutical Bulletin (1977), 25(4), 632-9

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal
LA English
OS CASREACT 87:201149
GI



I



II

AB The 2-amino-1-tetralone I was converted to catecholamine cyclic analogs II (R = H, Me, CHMe₂), which are useful as sympathomimetics (no data). Hydrolysis of I and reduction yielded II (R = H). I was N-acylated by (CF₃CO)₂O, N-methylated, and hydrolyzed to give II (R = Me). Reductive amination of Me₂CO by I and hydrolysis gave II (R = CHMe₂).

L5 ANSWER 66 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1977:577520 CAPLUS <<LOGINID::20070206>>
DN 87:177520

TI Influence of catecholamine-derived alkaloids and β -adrenergic blocking agents on stereospecific binding of 3H-naloxone

AU Tampier, Lutske; Alpers, Hilma S.; Davis, Virginia E.

CS Neurochem. Addict. Res. Lab., VA Hosp., Houston, TX, USA

SO Research Communications in Chemical Pathology and Pharmacology (1977), 17(4), 731-4

CODEN: RCOCB8; ISSN: 0034-5164

DT Journal
LA English

AB Alkaloids containing a catecholamine moiety, viz., tetrahydroisoquinolines and tetrahydropprotoberberines, and a group of β -adrenergic blocking agents were examined for their effects on the binding of tritiated (-)-naloxone [465-65-6] by rat brain homogenate. The stereospecific binding of the opiate antagonist was weakly inhibited by the catecholamine-derived alkaloids. The concentration of alkaloids producing 50% inhibition of binding ranged from 0.06 to 0.37 mM. The inhibitory effects of the β -adrenergic blocking agents appear to parallel their reported relative local anesthetic actions.

L5 ANSWER 67 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1977:534706 CAPLUS <<LOGINID::20070206>>
DN 87:134706

TI Hydrochlorides of selected catecholamine derivatives

IN Bodor, Nicolae S.; Yuan, Sun-Shine

PA INTERx Research Corp., USA

SO U.S., 7 pp.

CODEN: USXXAM

DT Patent
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------|----------|-----------------|----------|
| PI | US 4035405 | A | 19770712 | US 1976-703943 | 19760709 |
| | JP 61047820 | B | 19861021 | JP 1977-77265 | 19770630 |
| | DE 2730200 | C2 | 19860821 | DE 1977-2730200 | 19770704 |
| | CA 1102336 | A1 | 19810602 | CA 1977-282259 | 19770707 |
| | GB 1561013 | A | 19800213 | GB 1977-28789 | 19770708 |

| | | | | |
|---------------------|----|----------|---------------|----------|
| FR 2357527 | B3 | 19800516 | FR 1977-21171 | 19770708 |
| FR 2357527 | A1 | 19780203 | | |
| AU 7726913 | A | 19790118 | AU 1977-26913 | 19770711 |
| AU 510701 | B2 | 19800710 | | |
| JP 60214765 | A | 19851028 | JP 1985-48434 | 19850313 |
| JP 63038344 | B | 19880729 | | |
| PRAI US 1976-703943 | A | 19760709 | | |

OS MARPAT 87:134706

AB Higher yields (60-80%) of (+)-m,p-dipivalylepinephrine hydrochloride (I) were obtained by exchange of the corresponding hydroperchlorate with CsCl in MeOH; the yield of I was 50% by the conventional method of treating the hydroperchlorate salt with NH₄OH and HCl.

L5 ANSWER 68 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1977:118910 CAPLUS <<LOGINID::20070206>>

DN 86:118910

TI Conjugated catechol derivatives in a transplantable islet cell tumor of the golden hamster

AU Falck, B.; Hansson, C.; Kennedy, B. M.; Rosengren, E.

CS Dep. Histol. Org. Chem. II, Univ. Lund, Lund., Swed.

SO Acta Physiologica Scandinavica (1977), 99(2), 217-24

CODEN: APSCAX; ISSN: 0001-6772

DT Journal

LA English

AB Two glucuronidated catechol derivs. were identified in a transplantable islet cell tumor of the golden hamster, i.e., dopamine-4-O-glucuronide and 3-methoxytyramine-4-O-glucuronide. L-DOPA was rapidly metabolized in the tumor to 1 or both of these glucuronides. Incubation of tumor homogenates in the presence of β -glucuronidase showed that dopamine-4-O-glucuronide was present in the tumor in extremely high concns.

L5 ANSWER 69 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1977:102662 CAPLUS <<LOGINID::20070206>>

DN 86:102662

TI High-pressure liquid chromatography of the catecholamines as DANS derivatives - derivatization and separation

AU Schwedt, Georg; Bussemas, Heinz H.

CS Inst. Arbeitsphysiol., Univ. Dortmund, Dortmund, Fed. Rep. Ger.

SO Fresenius' Zeitschrift fuer Analytische Chemie (1977), 283(1), 23-8

CODEN: ZACFAU; ISSN: 0016-1152

DT Journal

LA German

AB The parameters of the reaction of DANS-Cl (dansyl chloride) with the catecholamines adrenaline, noradrenaline, and dopamine were studied systematically and optimized for an simultaneous derivatization: pH 8-9, 40% H₂O in an Me₂Co-H₂O mixture, 2-fold stoichiometric excess of DANS-Cl, 20 min at 40°. The DANS-catecholamines are separable by adsorption and reverse-phase chromatog. Short anal. times with optimal separation were intended for the composition of the mobile phases. Advantages and disadvantages of the 2 high-pressure liquid chromatog. methods are discussed.

L5 ANSWER 70 OF 70 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1970:474658 CAPLUS <<LOGINID::20070206>>

DN 73:74658

TI Endocrine thermoregulatory responses to local hypothalamic cooling in unanesthetized baboons

AU Gale, Charles C.; Jobin, M.; Proppe, D. W.; Notter, D.; Fox, H.

CS Dep. of Physiol. and Biophys., Univ. of Washington, Seattle, WA, USA

SO American Journal of Physiology (1970), 219(1), 193-201

CODEN: AJPHAP; ISSN: 0002-9513

DT Journal

LA English

AB The preoptic anterior hypothalamic (PO/AH) region of the brain of

unanesthetized baboons restrained in primate chairs was cooled locally for 3-hr periods by water perfusion through chronically implanted thermodes. Central cooling in neutral ambient temperature evoked cold-defense responses characterized by behavioral arousal, cutaneous vasoconstriction, shivering, and rapid 1-2.4° rise in core (midbrain) temperature (Tmb). Within 1 hr the baboons became less restless and ceased shivering as Tmb rose and stabilized. When central cooling ceased, cutaneous vasodilatation was promptly evident and Tmb fell within 1 hr. During central cooling the rates of urinary excretion of epinephrine and norepinephrine rose significantly and fell toward basal levels when cooling stopped. In blood drawn via an indwelling venous catheter, plasma 17-hydroxycorticoids rose abruptly at onset of central cooling, then fell slowly but remained significantly elevated until cooling ceased. Plasma protein-bound 131I rose during central cooling in half of the expts., reaching a peak 3.5 hr after onset of central cooling. Baboons without demonstrable thyroid activation nonetheless exhibited hyperthermia, shivering, and cutaneous vasoconstriction. During central cooling expts. plasma glucose levels were elevated; serum growth hormone values did not change consistently.

=> s deuterated catecholamines

32106 DEUTERATED

30817 CATECHOLAMINES

L6 2 DEUTERATED CATECHOLAMINES
(DEUTERATED (W) CATECHOLAMINES)

=> d L6 1-2 bib abs

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1983:11593 CAPLUS <<LOGINID::20070206>>

DN 98:11593

TI Biochemical analysis of catecholamines in small intensely fluorescent (SIF) cell clusters of the rat superior cervical ganglion

AU Gerold, N.; Enz, A.; Schroeder, H.; Heym, C.

CS Anat. Inst., Univ. Heidelberg, Heidelberg, D-6900, Fed. Rep. Ger.

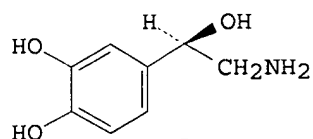
SO Journal of Neuroscience Methods (1982), 6(3), 287-92

CODEN: JNMEDT; ISSN: 0165-0270

DT Journal

LA English

GI



I

AB The microlaser technique of isolating small cell clusters has been applied to groups of small intensely fluorescent (SIF) cells in rat superior cervical ganglion. Alternate cryostatic sections were either incubated in glyoxylic acid monohydrate or freeze-dried. SIF cell clusters, recognized by glyoxylic acid-induced fluorescence, were reidentified in the consecutive freeze-dried section through dark-field microscopy. These clusters were dissected with a BTG microlaser unit and collected for biochem. assay. The catecholamine content of the specimens was measured by gas chromatog./mass fragmentog., using 3 deuterated catecholamines as an internal standard and calibration curves of each catecholamine. The findings indicate the presence of these 3 catecholamines in rat SIF cell clusters in a varying amount: in probes, each

consisting of 5 cell clusters, the content of norepinephrine (I) [51-41-2] averaged .apprx.7.3 pmol, of epinephrine [51-43-4] < 1 pmol, and dopamine [51-61-6] from <1 pmol to 14.6 pmol.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1982:540689 CAPLUS <<LOGINID::20070206>>
DN 97:140689
TI Determination of catechol-O-methyltransferase (COMT) activity by gas chromatography-mass spectrometry using a mixture of deuterated catecholamines as multi-substrate system
AU Miyazaki, H.; Hashimoto, Y.
CS Res. Lab., Nippon Kayaku Co., Tokyo, 115, Japan
SO Analytical Chemistry Symposia Series (1982), 11(Stable Isot.), 247-52
CODEN: ACSSDR; ISSN: 0167-6350
DT Journal
LA English
AB An assay method is described for the determination of COMT activity by selected ion monitoring after chemical ionization with isobutane as a reagent gas. The assay method uses a mixture of [2H2]dopamine, [2H3]norepinephrine, and [2H3]epinephrine as a multisubstrate system, and a mixture of [2H5]3-methoxytyramine, [2H6]normetanephine, and [2H6]metanephine as internal stds. This multisubstrate system was applied to the determination of the COMT activity in the brain of rats stressed by restraint and water immersion. The activity determined as above was significantly decreased compared with that in the brain control rats. However, COMT determined with the individual substrates was not affected significantly by stress.

=> s deuterated catecholamines
32106 DEUTERATED
30817 CATECHOLAMINES
L7 2 DEUTERATED CATECHOLAMINES
(DEUTERATED (W) CATECHOLAMINES)

=> s derivatives
340310 DERIVATIVES
1134069 DERIVS
L8 1239609 DERIVATIVES
(DERIVATIVES OR DERIVS)

=> s L7 and L8
L9 1 L7 AND L8

=> d L9 bib abs

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1982:540689 CAPLUS <<LOGINID::20070206>>
DN 97:140689
TI Determination of catechol-O-methyltransferase (COMT) activity by gas chromatography-mass spectrometry using a mixture of deuterated catecholamines as multi-substrate system
AU Miyazaki, H.; Hashimoto, Y.
CS Res. Lab., Nippon Kayaku Co., Tokyo, 115, Japan
SO Analytical Chemistry Symposia Series (1982), 11(Stable Isot.), 247-52
CODEN: ACSSDR; ISSN: 0167-6350
DT Journal
LA English
AB An assay method is described for the determination of COMT activity by selected ion monitoring after chemical ionization with isobutane as a reagent gas. The assay method uses a mixture of [2H2]dopamine, [2H3]norepinephrine, and [2H3]epinephrine as a multisubstrate system, and a mixture of [2H5]3-methoxytyramine, [2H6]normetanephine, and [2H6]metanephine as internal stds. This multisubstrate system was applied to the determination of the

COMT activity in the brain of rats stressed by restraint and water immersion. The activity determined as above was significantly decreased compared with that in the brain control rats. However, COMT determined with the individual substrates was not affected significantly by stress.

=>

L1 STRUCTURE UPLOADED

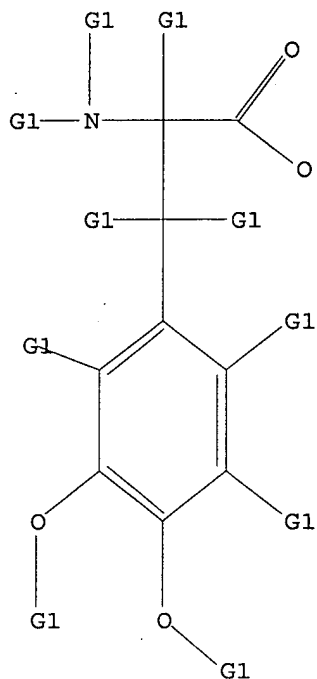
=> que L1

L2 QUE L1

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 H,D

Structure attributes must be viewed using STN Express query preparation.

=> s L1 full

FULL SEARCH INITIATED 15:38:39 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 14551 TO ITERATE

100.0% PROCESSED 14551 ITERATIONS

1064 ANSWERS

SEARCH TIME: 00.00.01

L3 1064 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'CAPLUS' ENTERED AT 15:38:46 ON 06 FEB 2007

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=> s L3

L4 14225 L3

=> s deuterated catecholamine derivatives

32106 DEUTERATED
28976 CATECHOLAMINE
30817 CATECHOLAMINES
39275 CATECHOLAMINE
(CATECHOLAMINE OR CATECHOLAMINES)

340310 DERIVATIVES
1134069 DERIVS
1239609 DERIVATIVES
(DERIVATIVES OR DERIVS)

L5 1 DEUTERATED CATECHOLAMINE DERIVATIVES
(DEUTERATED (W) CATECHOLAMINE (W) DERIVATIVES)

=> s catecholamine

28976 CATECHOLAMINE
30817 CATECHOLAMINES
39275 CATECHOLAMINE

L6 (CATECHOLAMINE OR CATECHOLAMINES)

=> s L4 and L6

L7 1762 L4 AND L6

=> s L7 and L5

L8 1 L7 AND L5

=> d L8 bib abs

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:525997 CAPLUS <<LOGINID::20070206>>

DN 141:89365

TI Deuterated catecholamine derivatives as well
as these compounds containing drug

IN Alken, Rudolf-Giesbert

PA Turicum Drug Development AG, Switz.

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|------------------|----------|
| | ----- | ---- | ----- | ----- | ----- |
| PI | DE 10261807 | A1 | 20040701 | DE 2002-10261807 | 20021219 |
| | CA 2513088 | A1 | 20040708 | CA 2003-2513088 | 20031218 |
| | WO 2004056724 | A1 | 20040708 | WO 2003-DE4203 | 20031218 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

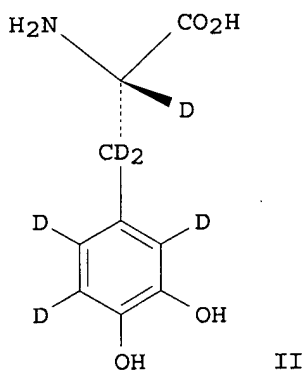
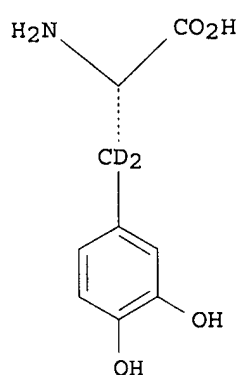
AU 2003289841 A1 20040714 AU 2003-289841 20031218
EP 1613571 A1 20060111 EP 2003-782168 20031218

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1738782 A 20060222 CN 2003-80108990 20031218
JP 2006510686 T 20060330 JP 2004-561054 20031218
US 2006135615 A1 20060622 US 2006-539845 20060209

PRAI DE 2002-10261807 A 20021219
WO 2003-DE4203 W 20031218

OS MARPAT 141:89365
GI



AB The present invention concerns preparation of deuterated catecholamine derivs. and their therapeutic use in treating medical conditions, either alone or in conjunction with other active agents. In addition the invention concerns the use of deuterated catecholamine derivs. as well as their physiol. compatible salts, or pharmaceutical compns. containing deuterated catecholamine derivs. or their physiol. compatible salts, for the treatment of illnesses of lack of dopamine and/or illnesses, which are based on disturbed tyrosine transport or disturbed tyrosine decarboxylase, such as Parkinson's disease, Restless Legs syndrome, dystonia, for the inhibition of prolactin secretion, for the stimulation of growth hormone release, for the treatment of the neurol. symptoms of chronic manganese poisonings, of amyotrophic lateral sclerose and of multiple system atrophy, as well as the prophylaxis of psychoses, schizophrenia, and acute psychoses, preferably psychoses with neg. symptomatol., in particular also schizophrenia (no data). Thus, a DL-mixture of 2-acetyl-amino-3,3-dideuterio-3-(3,4-dimethoxyphenyl)propionic acid was resolved using (R)-1-phenethylamine, and the D- and L-free bases isolated; the L-fraction was N-deacetylated and O-demethylated to give title compound (I) in 96% yield. Similarly prepared were the D-I, and (II) in 92 and 84%, resp.

=> s parkinson's disease

MISMATCHED QUOTE 'PARKINSON'S'

Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

```
=> s "parkinson's disease"
      1283 "PARKINSONS"
      926001 "DISEASE"
      250840 "DISEASES"
      1038641 "DISEASE"
          ("DISEASE" OR "DISEASES")
L9      1110 "PARKINSON'S DISEASE"
          ("PARKINSONS" (W) "DISEASE")
```

```
=> s L8 and L9
L10      0 L8 AND L9
```

```
=> s pharmaceutical composition
      231157 PHARMACEUTICAL
      88929 PHARMACEUTICALS
      284704 PHARMACEUTICAL
          (PHARMACEUTICAL OR PHARMACEUTICALS)
      678629 COMPOSITION
      311082 COMPOSITIONS
      983238 COMPOSITION
          (COMPOSITION OR COMPOSITIONS)
      1445139 COMPN
      585781 COMPNS
      1771626 COMPN
          (COMPN OR COMPNS)
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L11      31274 PHARMACEUTICAL COMPOSITION
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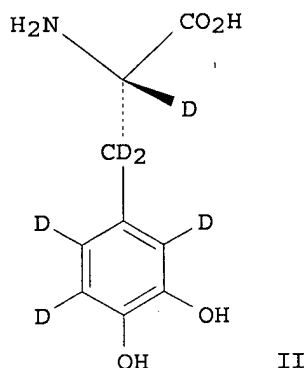
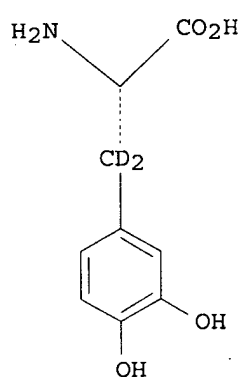
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=> s L8 and L11
L12      1 L8 AND L11
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=> d L12 bib abs
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```
L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:525997 CAPLUS <<LOGINID::20070206>>
DN 141:89365
TI Deuterated catecholamine derivatives as well
   as these compounds containing drug
IN Alken, Rudolf-Giesbert
PA Turicum Drug Development AG, Switz.
SO Ger. Offen., 12 pp.
   CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1
```

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|------------------|----------|
| PI | DE 10261807 | A1 | 20040701 | DE 2002-10261807 | 20021219 |
| | CA 2513088 | A1 | 20040708 | CA 2003-2513088 | 20031218 |
| | WO 2004056724 | A1 | 20040708 | WO 2003-DE4203 | 20031218 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, | | | | |

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2003289841 A1 20040714 AU 2003-289841 20031218
 EP 1613571 A1 20060111 EP 2003-782168 20031218
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 CN 1738782 A 20060222 CN 2003-80108990 20031218
 JP 2006510686 T 20060330 JP 2004-561054 20031218
 US 2006135615 A1 20060622 US 2006-539845 20060209
 PRAI DE 2002-10261807 A 20021219
 WO 2003-DE4203 W 20031218
 OS MARPAT 141:89365
 GI



AB The present invention concerns preparation of deuterated catecholamine derivs. and their therapeutic use in treating medical conditions, either alone or in conjunction with other active agents. In addition the invention concerns the use of deuterated catecholamine derivs. as well as their physiol. compatible salts, or pharmaceutical compns. containing deuterated catecholamine derivs. or their physiol. compatible salts, for the treatment of illnesses of lack of dopamine and/or illnesses, which are based on disturbed tyrosine transport or disturbed tyrosine decarboxylase, such as Parkinson's disease, Restless Legs syndrome, dystonia, for the inhibition of prolactin secretion, for the stimulation of growth hormone release, for the treatment of the neurol. symptoms of chronic manganese poisonings, of amyotrophic lateral sclerose and of multiple system atrophy, as well as the prophylaxis of psychoses, schizophrenia, and acute psychoses, preferably psychoses with neg. symptomatol., in particular also schizophrenia (no data). Thus, a DL-mixture of 2-acetylamino-3,3-dideuterio-3-(3,4-dimethoxyphenyl)propionic acid was resolved using (R)-1-phenethylamine, and the D- and L-free bases isolated; the L-fraction was N-deacetylated and O-demethylated to give title compound (I) in 96% yield. Similarly prepared were the D-I, and (II) in 92 and 84%, resp.

=> s decarboxylase inhibitor
 30019 DECARBOXYLASE
 2114 DECARBOXYLASES
 30290 DECARBOXYLASE
 (DECARBOXYLASE OR DECARBOXYLASES)
 530099 INHIBITOR
 535379 INHIBITORS
 834822 INHIBITOR


```

                (INHIBITOR OR INHIBITORS)
L13      1962 DECARBOXYLASE INHIBITOR
                (DECARBOXYLASE (W) INHIBITOR)

=> s L8 and L13
L14      0 L8 AND L13

=> s catechol-O-methyltransferase
        36795 CATECHOL
        2774 CATECHOLS
        37773 CATECHOL
                (CATECHOL OR CATECHOLS)
        1528403 O
        17692 METHYLTRANSFERASE
        2962 METHYLTRANSFERASES
        18233 METHYLTRANSFERASE
                (METHYLTRANSFERASE OR METHYLTRANSFERASES)
L15      2560 CATECHOL-O-METHYLTRANSFERASE
                (CATECHOL (W) O (W) METHYLTRANSFERASE)

=> s L8 and L15
L16      0 L8 AND L15

=> s L8 and monoamine oxidase inhibitor
        26049 MONOAMINE
        7859 MONOAMINES
        29222 MONOAMINE
                (MONOAMINE OR MONOAMINES)
        120927 OXIDASE
        13745 OXIDASES
        123833 OXIDASE
                (OXIDASE OR OXIDASES)
        530099 INHIBITOR
        535379 INHIBITORS
        834822 INHIBITOR
                (INHIBITOR OR INHIBITORS)
        4421 MONOAMINE OXIDASE INHIBITOR
                (MONOAMINE (W) OXIDASE (W) INHIBITOR)
L17      0 L8 AND MONOAMINE OXIDASE INHIBITOR

=> s beta-hydroxylase inhibitor
        1433527 BETA
        1329 BETAS
        1433603 BETA
                (BETA OR BETAS)
        42531 HYDROXYLASE
        3055 HYDROXYLASES
        43118 HYDROXYLASE
                (HYDROXYLASE OR HYDROXYLASES)
        530099 INHIBITOR
        535379 INHIBITORS
        834822 INHIBITOR
                (INHIBITOR OR INHIBITORS)
L18      441 BETA-HYDROXYLASE INHIBITOR
                (BETA (W) HYDROXYLASE (W) INHIBITOR)

=> s L8 and L18
L19      0 L8 AND L18

=> s psychoses
L20      1038 PSYCHOSES

=> s L8 and L20
L21      1 L8 AND L20

```

=> d L21

L21 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:525997 CAPLUS <<LOGINID::20070206>>

DN 141:89365

TI Deuterated catecholamine derivatives as well
as these compounds containing drug

IN Alken, Rudolf-Giesbert

PA Turicum Drug Development AG, Switz.

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|------------------|----------|
| PI | DE 10261807 | A1 | 20040701 | DE 2002-10261807 | 20021219 |
| | CA 2513088 | A1 | 20040708 | CA 2003-2513088 | 20031218 |
| | WO 2004056724 | A1 | 20040708 | WO 2003-DE4203 | 20031218 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2003289841 | A1 | 20040714 | AU 2003-289841 | 20031218 |
| | EP 1613571 | A1 | 20060111 | EP 2003-782168 | 20031218 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| | CN 1738782 | A | 20060222 | CN 2003-80108990 | 20031218 |
| | JP 2006510686 | T | 20060330 | JP 2004-561054 | 20031218 |
| | US 2006135615 | A1 | 20060622 | US 2006-539845 | 20060209 |
| PRAI | DE 2002-10261807 | A | 20021219 | | |
| | WO 2003-DE4203 | W | 20031218 | | |
| OS | MARPAT 141:89365 | | | | |

=> s decarboxylase inhibitor

30019 DECARBOXYLASE

2114 DECARBOXYLASES

30290 DECARBOXYLASE

(DECARBOXYLASE OR DECARBOXYLASES)

530099 INHIBITOR

535379 INHIBITORS

834822 INHIBITOR

(INHIBITOR OR INHIBITORS)

L22 1962 DECARBOXYLASE INHIBITOR

(DECARBOXYLASE (W) INHIBITOR)

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| NEWS | 6 | NOV 10 | CA/CAPLUS F-Term thesaurus enhanced |
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| NEWS | 10 | DEC 11 | CAS REGISTRY chemical nomenclature enhanced |
| NEWS | 11 | DEC 14 | WPIDS/WPINDEX/WPIX manual codes updated |
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| NEWS | 14 | DEC 18 | CA/CAPLUS patent kind codes updated |
| NEWS | 15 | DEC 18 | MARPAT to CA/CAPLUS accession number crossover limit increased to 50,000 |
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| NEWS | 18 | JAN 08 | CHEMLIST enhanced with New Zealand Inventory of Chemicals |
| NEWS | 19 | JAN 16 | CA/CAPLUS Company Name Thesaurus enhanced and reloaded |
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| NEWS | 21 | JAN 16 | WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data |
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| NEWS | 23 | JAN 22 | CA/CAPLUS enhanced with patent applications from India |
| NEWS | 24 | JAN 29 | PHAR reloaded with new search and display fields |
| NEWS | 25 | JAN 29 | CAS Registry Number crossover limit increased to 300,000 in multiple databases |
| | | | |
| NEWS EXPRESS | NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006. | | |
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